

=> fil hcap

FILE 'HCAPLUS' ENTERED AT 12:38:46 ON 20 SEP 2004

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FILE COVERS 1907 - 20 Sep 2004 VOL 141 ISS 13

FILE LAST UPDATED: 19 Sep 2004 (20040919/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 115

L5	544	SEA FILE=HCAPLUS	ABB=ON	PLU=ON	"CARBOHYDRATES (L) ALDONIC ACIDS"+OLD/CT
L6	974	SEA FILE=HCAPLUS	ABB=ON	PLU=ON	L5 OR ALDONIC ACID
L8	181653	SEA FILE=HCAPLUS	ABB=ON	PLU=ON	ANTITUMOR AGENTS+OLD/CT
L9	7	SEA FILE=HCAPLUS	ABB=ON	PLU=ON	L6 AND L8
L10	2	SEA FILE=HCAPLUS	ABB=ON	PLU=ON	L9 AND ASCORB?
L13	5	SEA FILE=HCAPLUS	ABB=ON	PLU=ON	L6 AND ADV/RL
L14	1	SEA FILE=HCAPLUS	ABB=ON	PLU=ON	L6 AND (ADVERSE OR SIDE) (1A)EF FECT?
L15	13	SEA FILE=HCAPLUS	ABB=ON	PLU=ON	L13 OR L14 OR L10 OR L9

=> fil medline

FILE 'MEDLINE' ENTERED AT 12:38:53 ON 20 SEP 2004

FILE LAST UPDATED: 17 SEP 2004 (20040917/UP). FILE COVERS 1951 TO DATE.

On February 29, 2004, the 2004 MeSH terms were loaded. See HELP RLOAD for details. OLDMEDLINE now back to 1951.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2004 vocabulary. See <http://www.nlm.nih.gov/mesh/> and http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a description of changes.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 122

L16	3	SEA FILE=MEDLINE	ABB=ON	PLU=ON	ALDONIC(3A)ACID AND ASCORB?
L17	86429	SEA FILE=MEDLINE	ABB=ON	PLU=ON	ANTINEOPLASTIC AGENTS/CT
L19	1	SEA FILE=MEDLINE	ABB=ON	PLU=ON	ALDONIC(3A)ACID AND L17
L20	814010	SEA FILE=MEDLINE	ABB=ON	PLU=ON	AE/CT

L21 0 SEA FILE=MEDLINE ABB=ON PLU=ON ALDONIC(3A)ACID AND (L20 OR
(ADVERSE OR SIDE) (1A) EFFECT)
L22 4 SEA FILE=MEDLINE ABB=ON PLU=ON L16 OR L19 OR L21

=> fil embase

FILE 'EMBASE' ENTERED AT 12:39:00 ON 20 SEP 2004

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FILE COVERS 1974 TO 16 Sep 2004 (20040916/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d que l29

L23 13 SEA FILE=EMBASE ABB=ON PLU=ON ALDONIC ACID?/CT
L24 68 SEA FILE=EMBASE ABB=ON PLU=ON ALDONIC(2A)ACID
L25 1 SEA FILE=EMBASE ABB=ON PLU=ON L24 AND ASCORB?
L26 772617 SEA FILE=EMBASE ABB=ON PLU=ON ADVERSE DRUG REACTION+ALL/CT
L27 3 SEA FILE=EMBASE ABB=ON PLU=ON (L23 OR L24) AND (L26 OR
(ADVERSE OR SIDE) (2A) (EFFECT OR REACTION))
L29 4 SEA FILE=EMBASE ABB=ON PLU=ON L25 OR L27

=> fil biosis

FILE 'BIOSIS' ENTERED AT 12:39:06 ON 20 SEP 2004

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FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 15 September 2004 (20040915/ED)

FILE RELOADED: 19 October 2003.

=> d que l38

L30 115 SEA FILE=BIOSIS ABB=ON PLU=ON ALDONIC(2A)ACID
L31 115 SEA FILE=BIOSIS ABB=ON PLU=ON ALDONIC ACID?/CT OR L30
L32 3 SEA FILE=BIOSIS ABB=ON PLU=ON L31 AND ASCORB?
L33 1348295 SEA FILE=BIOSIS ABB=ON PLU=ON CANCER OR ANTICANCER OR
NEOPLAS? OR TUMOR OR ANTINEOPLAS? OR ANTITUM? OR TUMOUR
L34 3 SEA FILE=BIOSIS ABB=ON PLU=ON L31 AND L33
L36 165847 SEA FILE=BIOSIS ABB=ON PLU=ON (SIDE OR ADVERS?) (2A) (EFFECT?
OR REACTION)
L37 0 SEA FILE=BIOSIS ABB=ON PLU=ON L30 AND L36
L38 6 SEA FILE=BIOSIS ABB=ON PLU=ON L32 OR L34 OR L37

=> fil wpix

FILE 'WPIX' ENTERED AT 12:39:12 ON 20 SEP 2004

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FILE LAST UPDATED: 15 SEP 2004 <20040915/UP>

MOST RECENT DERWENT UPDATE: 200459 <200459/DW>

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HIT STRUCTURES WITHIN THE BIBLIOGRAPHIC DOCUMENT <<<

=> d que 147

L40	183	SEA FILE=WPIX ABB=ON	PLU=ON	ALDONIC(2A)ACID
L41	15	SEA FILE=WPIX ABB=ON	PLU=ON	L40 AND ASCORB?
L42	93976	SEA FILE=WPIX ABB=ON	PLU=ON	CANCER OR ANTICANCER OR NEOPLAS? OR TUMOR OR ANTINEOPLAS? OR ANTITUM? OR TUMOUR
L43	7	SEA FILE=WPIX ABB=ON	PLU=ON	L40 AND L42
L44	3	SEA FILE=WPIX ABB=ON	PLU=ON	L43 AND L41
L45	49188	SEA FILE=WPIX ABB=ON	PLU=ON	(SIDE OR ADVERS?) (2A) (EFFECT? OR REACTION)
L46	5	SEA FILE=WPIX ABB=ON	PLU=ON	L40 AND L45
L47	24	SEA FILE=WPIX ABB=ON	PLU=ON	L41 OR L43 OR L44 OR L46

=> dup rem 115 122 129 138 147

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PROCESSING COMPLETED FOR L15

PROCESSING COMPLETED FOR L22

PROCESSING COMPLETED FOR L29

PROCESSING COMPLETED FOR L38

PROCESSING COMPLETED FOR L47

L48 42 DUP REM L15 L22 L29 L38 L47 (9 DUPLICATES REMOVED)

ANSWERS '1-13' FROM FILE HCAPLUS

ANSWERS '14-16' FROM FILE MEDLINE

ANSWER '17' FROM FILE EMBASE

ANSWERS '18-20' FROM FILE BIOSIS

ANSWERS '21-42' FROM FILE WPIX

=> d 148 ibib ab hitind 1-13

L48 ANSWER 1 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
 ACCESSION NUMBER: 2003:892567 HCAPLUS
 DOCUMENT NUMBER: 139:386334
 TITLE: Production of monomeric calicheamicin derivative
 cytotoxic drug/carrier conjugates
 INVENTOR(S): Kunz, Arthur; Moran, Justin Keith; Rubino, Joseph
 Thomas; Jain, Neera; Vidunas, Eugene Joseph; Simpson,
 John McLean; Robbins, Paul David; Merchant, Nishith;
 Dijoseph, John Francis; Ruppen, Mark Edward; Damle,
 Nitin Krishnaji; Popplewell, Andrew George; et al.
 PATENT ASSIGNEE(S): Wyeth Holdings Corporation, USA
 SOURCE: PCT Int. Appl., 186 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003092623	A2	20031113	WO 2003-US13910	20030502
WO 2003092623	A3	20040318		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004082764	A1	20040429	US 2003-428894	20030502

PRIORITY APPLN. INFO.: US 2002-377440P P 20020502

AB The present invention relates to methods for. the production of monomeric
 cytotoxic drug/carrier conjugates (the "conjugates") with higher drug
 loading and substantially reduced low conjugate fraction (LCF). Cytotoxic
 drug derivative/antibody conjugates, compns. comprising the conjugates and
 uses of the conjugates are also described. Particularly, the invention
 relates to anti-CD22 antibody-monomeric calicheamicin conjugates. The
 invention also relates to the conjugates of the invention, to methods of
 purification of the conjugates, to pharmaceutical compns. comprising the
 conjugates, and to uses of the conjugates.

IC ICM A61K

CC 63-5 (Pharmaceuticals)

Section cross-reference(s): 15

IT **Carbohydrates, uses**

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
 (aldonic acids; production of monomeric calicheamicin
 derivative cytotoxic drug/carrier conjugates)

IT Alkylating agents, biological

Antitumor agents

Cryoprotectants

HPLC

Human

Linking agents

Mus

Protein sequences

Surfactants

(production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)

IT 50-69-1, Ribose 50-70-4, Sorbitol, uses 50-81-7, **Ascorbic** acid, uses 50-99-7, Glucose, uses 56-81-5, Glycerol, uses 56-82-6, Glyceraldehyde 57-48-7, Fructose, uses 57-50-1, Sucrose, uses 58-86-6, Xylose, uses 59-05-2, Methotrexate 59-23-4, Galactose, uses 63-42-3, Lactose 65-42-9, Lyxose 69-65-8, Mannitol 69-79-4, Maltose 77-86-1, Tromethamine 87-79-6, Sorbose 87-89-8, Inositol 89-65-6, Isoascorbic acid 99-20-7, Trehalose 107-21-1, Ethylene glycol, uses 114-04-5, Neuraminic acid 115-77-5, Pentaerythritol, uses 147-81-9, Arabinose 526-95-4, Gluconic acid 551-84-8, Xylulose 685-73-4, Galacturonic acid 1398-61-4, Chitin 1758-51-6, Erythrose 2152-76-3, Idose 3416-24-8, Glucosamine 3458-28-4, Mannose 5556-48-9, Ribulose 5987-68-8, Altrose 6038-51-3, Allose 6556-12-3, Glucuronic acid 6814-36-4, Mannuronic acid 7535-00-4, Galactosamine 7647-14-5, Sodium chloride, uses 9000-07-1, Carrageenan 9000-69-5, Pectins 9004-34-6, Cellulose, uses 9004-54-0, Dextran 40,, uses 9004-61-9, Hyaluronic acid 9005-25-8, Starch, uses 9005-32-7, Alginic acid 9005-65-6, Polysorbate 80, 9005-79-2, Glycogen, uses 9005-82-7, Amylose 9007-27-6, Chondroitin 9012-36-6, Agarose 9012-72-0, Glucan 9013-95-0, Levan 9014-63-5, Xylans 9036-88-8, Mannan 9037-22-3, Amylopectin 9037-55-2, Galactan 9037-90-5, Fructan 9046-38-2, Galacturonan 9046-40-6, Pectic acid 9057-02-7, Pullulan 9060-75-7, Arabinan 9072-19-9, Fucoidan 11138-66-2, Xanthan gum 17598-81-1, Tagatose 19163-87-2, Gulose 23140-52-5, Psicose 25322-68-3, Polyethylene glycol 25322-69-4, Polypropylene glycol 25525-21-7, Glucaric acid 29884-64-8, Threose 30077-17-9, Talose 37331-28-5, Pustulan 40031-31-0, Erythrulose 53106-52-8, Pentose 60495-58-1, Galactocarolose 64612-25-5, Fucan 71927-65-6, Heptose 75634-40-1, Dermatan 93780-23-5, Hexose 169799-44-4, Keratin 199297-32-0, Pentose

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)

L48 ANSWER 2 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2001:167803 HCAPLUS

DOCUMENT NUMBER: 134:202686

TITLE: Methods and compositions for selective cancer chemotherapy using a mineral **ascorbate** and a vitamin C metabolite

INVENTOR(S): Jariwalla, Raxit J.

PATENT ASSIGNEE(S): Oxycal Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001015692	A1	20010308	WO 1999-US19449	19990830
W: AU, CA, CN, IS, JP, KP, MX, NO, NZ, SG, TR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,				

PT, SE
 EP 1124550 A1 20010822 EP 1999-945197 19990830
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 JP 2003508437 T2 20030304 JP 2001-519906 19990830
 NZ 511396 A 20030829 NZ 1999-511396 19990830
 NO 2001002027 A 20010620 NO 2001-2027 20010425
 US 2004092549 A1 20040513 US 2001-830912 20010430
 PRIORITY APPLN. INFO.: WO 1999-US19449 W 19990830
 AB A selective chemotherapy method includes contacting tumor cells with a
 mineral **ascorbate**/vitamin C metabolite composition A
 chemotherapeutic composition comprises the mineral **ascorbate**/vitamin
 C metabolite composition in a pharmacol. acceptable i.v. carrier.
 IC ICM A61K031-34
 CC 1-6 (Pharmacology)
 Section cross-reference(s): 63
 ST intravenous antitumor pharmaceutical mineral **ascorbate** vitamin C
 metabolite
 IT **Carbohydrates, biological studies**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)
 (aldonic acids, lactones, and aldonolactides;
 mineral **ascorbate**/vitamin C metabolite composition and method for
 selective cancer chemotherapy)
 IT **Carbohydrates, biological studies**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)
 (aldonic acids; mineral **ascorbate**/vitamin
 C metabolite composition and method for selective cancer chemotherapy)
 IT **Antitumor agents**
 (colon carcinoma; mineral **ascorbate**/vitamin C metabolite
 composition and method for selective cancer chemotherapy)
 IT Intestine, neoplasm
 (colon, carcinoma, inhibitors; mineral **ascorbate**/vitamin C
 metabolite composition and method for selective cancer chemotherapy)
 IT Liver, neoplasm
 (hepatoma, inhibitors; mineral **ascorbate**/vitamin C metabolite
 composition and method for selective cancer chemotherapy)
 IT **Antitumor agents**
 (hepatoma; mineral **ascorbate**/vitamin C metabolite composition and
 method for selective cancer chemotherapy)
 IT Drug delivery systems
 (injections, i.v.; mineral **ascorbate**/vitamin C metabolite
 composition and method for selective cancer chemotherapy)
 IT **Antitumor agents**
 (melanoma; mineral **ascorbate**/vitamin C metabolite composition and
 method for selective cancer chemotherapy)
 IT **Antitumor agents**
 Apoptosis
 Drug interactions
 (mineral **ascorbate**/vitamin C metabolite composition and method for
 selective cancer chemotherapy)
 IT Nerve, neoplasm
 (neuroblastoma, inhibitors; mineral **ascorbate**/vitamin C
 metabolite composition and method for selective cancer chemotherapy)
 IT **Antitumor agents**
 (neuroblastoma; mineral **ascorbate**/vitamin C metabolite composition

and method for selective cancer chemotherapy)

IT 50-81-7D, **Ascorbic acid**, metabolites and metal salts 490-83-5, Dehydroascorbic acid 1073-96-7, 5-Hydroxymaltol 1758-51-6, Erythrose 2308-51-2, 3-Hydroxykojic acid 5743-27-1, Calcium **ascorbate** 19322-27-1, 4-Hydroxy-5-methyl-3(2H)-furanone 29884-64-8, Threose 70753-61-6 111645-48-8, Ester-C
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(mineral **ascorbate**/vitamin C metabolite composition and method for selective cancer chemotherapy)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 3 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 1998:230729 HCAPLUS

DOCUMENT NUMBER: 129:22704

TITLE: Glutathione-dependent detoxification of α -oxoaldehydes by the glyoxalase system: involvement in disease mechanisms and antiproliferative activity of glyoxalase I inhibitors
AUTHOR(S): Thornalley, Paul J.

CORPORATE SOURCE: Wivenhoe Park, Central Campus, Department of Biological and Chemical Sciences, Glyoxalase Research Group, University of Essex, Colchester, Essex, CO4 3SQ, UK

SOURCE: Chemico-Biological Interactions (1998), 111-112, 137-151

CODEN: CBINA8; ISSN: 0009-2797

PUBLISHER: Elsevier Science Ireland Ltd.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 50 refs. The glyoxalase system is a metabolic pathway that catalyzes the detoxification of α -oxoaldehydes RCOCHO to corresponding **aldonic acids** $\text{RCH(OH)CO}_2\text{H}$. It thereby protects cells from α -oxoaldehyde-mediated formation of advanced glycation endproducts (AGEs). It is comprised of two enzymes, glyoxalase I and glyoxalase II, and a catalytic amount of reduced glutathione (GSH) as cofactor. It is present in the cytosol of cells of mammals and most micro-organisms. Physiol. substrates of the glyoxalase system are: glyoxal (formed from lipid peroxidn. and glycation reactions), methylglyoxal (formed from triosephosphates), ketone body metabolism and threonine catabolism and 4,5-dioxovalerate (formed from 5-aminolevulinate and α -ketoglutarate). α -Oxoaldehydes react with guanyl residues in DNA and RNA, and with cysteine, lysine and arginine residues in proteins. This modification of DNA induces mutagenesis and apoptosis. The modification of proteins leads to protein degradation and activation of a cytokine-mediated immune response in monocytes and macrophages. An acute decrease in cellular GSH, as occurs in oxidative stress, leads to decreased in situ activity of glyoxalase I, accumulation of α -oxoaldehydes and cytotoxicity. Chronic exposure to increased methylglyoxal concentration occurs in diabetes mellitus and is associated with chronic clin. complications (retinopathy, neuropathy, nephropathy). The α -oxoaldehyde scavenger Pimagedine is under clin. evaluation for acute increases methylglyoxal concentration, growth arrest and apoptosis. Glyoxalase I inhibitors are under development as antitumor and antimalarial agents.

CC 1-0 (Pharmacology)
Section cross-reference(s): 14

IT Aldehydes, biological studies
RL: **ADV (Adverse effect, including toxicity)**; BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(oxo; glutathione-dependent detoxification of α -oxoaldehydes by glyoxalase system and involvement in disease mechanisms and antiproliferative activity of glyoxalase I inhibitors)
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 4 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 1994:509495 HCAPLUS
DOCUMENT NUMBER: 121:109495
TITLE: Synthesis of some 2-C-alkyl-2,3-dideoxy- α,β -L-glycero-tetraono-1,4-lactones. Evaluation as antitumor agents
AUTHOR(S): Blazis, Vincent J.; Hawkins, Elma S.; Baker, David C.
CORPORATE SOURCE: Dep. Chem., Univ. Tennessee, Knoxville, TN, 37996-1600, USA
SOURCE: Carbohydrate Research (1994), 253, 225-33
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of alkylidideoxy-O-trityl-D-erythropentonolactones, e.g. I (R = Me, Et, Pr, Bu, Ph, R1 = CPh3), were detritylated to give the corresponding I (R1 = H). I (R1 = H) were converted to their resp. 2-C-alkyl-2,3-dideoxy- α,β -L-glycero-tetrurono-1,4-lactones (L-sugar numbering) in a one-vessel reaction sequence of (a) conversion of the lactones to their **aldonic acid** sodium salts, (b) cleavage of the resulting aldonates with sodium meta-periodate, and (c) acidification, followed by acetylation, to give the title compds., e.g. II. Compds. II were inhibitory toward L1210 leukemia cells at concns. in the 10^{-4} M range.
CC 33-8 (Carbohydrates)
Section cross-reference(s): 1
IT **Neoplasm inhibitors**
(C-alkylidideoxyglycerotetraonolactones as)

L48 ANSWER 5 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 1993:51982 HCAPLUS
DOCUMENT NUMBER: 118:51982
TITLE: Inhibition of growth of human leukemia 60 cells by S-2-hydroxyacylglutathiones and monoethyl ester derivatives
AUTHOR(S): Clelland, James D.; Allen, Rosamund E.; Thornalley, Paul J.
CORPORATE SOURCE: Dep. Chem. Biol. Chem., Univ. Essex, Colchester, CO4 3SQ, UK
SOURCE: Biochemical Pharmacology (1992), 44(10), 1953-9
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
AB S-2-Hydroxyacylglutathione derivs. were found to induce growth arrest and toxicity in human leukemia 60 cells in culture. S-D-Lactoylglutathione was the most effective with a median inhibitory concentration IC50 of 82 μ M (95% C.I. 65-105 μ M). No similar toxicity was induced by reduced glutathione and/or the corresponding **aldonic acid** (500 μ M) in human leukemia 60 cells, nor by S-D-lactoylglutathione (500 μ M) in mature human neutrophils under the same culture conditions.

Monoethyl ester derivs. of the S-2-hydroxyacylglutathiones were prepared and also induced growth arrest and toxicity but were less effective than the corresponding unesterified compds. S-2-Hydroxyacylglutathione derivs. also inhibited the incorporation of [3H]thymidine into DNA early in the development of toxicity; for S-D-lactoylglutathione, the median inhibitory concentration was 74 μ M (95% C.I. 47-116 μ M). The mechanism of the inhibition of human leukemia cell growth by S-D-lactoylglutathione and other S-2-hydroxyacylglutathione derivs. is unknown but appears to be mediated by inhibition of DNA synthesis.

CC 1-6 (Pharmacology)

IT **Carbohydrates and Sugars, biological studies**

RL: BIOL (Biological study)

(aldonic acids, leukemia lack of inhibition by)

IT **Neoplasm inhibitors**

(leukemia, hydroxyacylglutathiones and their monoethyl ester derivs. as, DNA formation inhibition by)

L48 ANSWER 6 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:447096 HCAPLUS

DOCUMENT NUMBER: 141:1243

TITLE: Antiallergy compositions containing aldonic acids, drugs, foods, and feeds containing them, and treatment of allergy using them

INVENTOR(S): Yoshiyasu, Takashi; Okada, Masaaki; Yuasa, Kazuhiro

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004155686	A2	20040603	JP 2002-321320	20021105
PRIORITY APPLN. INFO.:			JP 2002-321320	20021105

AB Antiallergy compns. contain aldonic acids, their nontoxic salts, and/or intramol. esters. Prophylaxis or treatment of allergy is performed by administering the compns. or drugs, feeds, or feeds containing the compns. to humans or animals. Addition of Na gluconate to soybean protein-rich feed significantly suppressed increase in blood IgG level in allergy-prone BN (Brown Norway) rats.

IC ICM A61K031-191

ICS A23K001-16; A23L001-30; A61K031-365; A61K031-366; A61K035-20; A61K035-54; A61K035-78; A61P037-08

CC 1-7 (Pharmacology)

Section cross-reference(s): 14, 17

ST allergy inhibitor aldonic acid; gluconate food allergy inhibition; feed gluconate allergy inhibitor

IT Antibodies and Immunoglobulins

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)

(IgG, allergy involving; antiallergy compns. containing aldonic acids for drugs, foods, and feeds)

IT **Carbohydrates, biological studies**

RL: FFD (Food or feed use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aldonic acids; antiallergy compns. containing aldonic acids for drugs, foods, and feeds)

IT Egg white
Glycine max
Milk
(allergy to; antiallergy compns. containing **aldonic acids**
for drugs, foods, and feeds)

IT Allergy
Allergy inhibitors
Feed
Food
Food allergy
Human
(antiallergy compns. containing **aldonic acids** for
drugs, foods, and feeds)

IT 90-80-2, Glucono- δ -lactone 299-28-5, Calcium gluconate 526-95-4,
Gluconic acid 527-07-1, Sodium gluconate 1198-69-2,
Glucono- γ -lactone
RL: FFD (Food or feed use); PAC (Pharmacological activity); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(antiallergy compns. containing **aldonic acids** for
drugs, foods, and feeds)

L48 ANSWER 7 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:609996 HCAPLUS
DOCUMENT NUMBER: 139:148561
TITLE: Immunostimulatory polysaccharide preparation from
Antrodia camphorata mycelium
INVENTOR(S): Chen, Jinn-Chu; Chen, Chin-Nung; Sheu, Sen-Je
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003148517	A1	20030807	US 2001-26791	20011227
PRIORITY APPLN. INFO.:			US 2001-26791	20011227

AB The present invention relates to biol. active material, containing mainly polysaccharides, from the solution culturing for mycelium of Antrodia camphorata, a kind of mushroom that only grows inside a unique Taiwanese plant called Cinnamomum kanehirae tree, being able to improve immunity and resist tumors and parasites, and the preparation and compns. for the said active material. Thus, Antrodia camphorata was cultured in a fermentor and a biol. active polysaccharide fraction was isolated after hot water extraction of the mycelia.

IC ICM C12N005-00
ICS C12N005-02; C12N001-14; A61K035-84; C12N001-16; C12N001-18

NCL 435383000; 435254100; 424195150

CC 16-2 (Fermentation and Bioindustrial Chemistry)
Section cross-reference(s): 1

IT **Carbohydrates, biological studies**

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(**aldonic acids**, component of Antrodia

polysaccharides; immunostimulatory polysaccharide preparation from Antrodia camphorata mycelium)

IT **Antitumor agents**
Antrodia camphorata

Centrifugation
Immunostimulants
Solvent extraction
(immunostimulatory polysaccharide preparation from Antrodia camphorata
mycelium)

L48 ANSWER 8 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:624262 HCAPLUS
DOCUMENT NUMBER: 140:52542
TITLE: Novel anti-glycation therapeutic agents: glyoxalase I
mimetics
AUTHOR(S): Battah, Sinan; Ahmed, Naila; Thornalley, Paul J.
CORPORATE SOURCE: Department of Biological Sciences, University of
Essex, Colchester, Essex, CO4 3SQ, UK
SOURCE: International Congress Series (2002), 1245(Maillard
Reaction in Food Chemistry and Medical Science),
107-111
CODEN: EXMDA4; ISSN: 0531-5131
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Glyoxalase I mimetic activity has been associated with the
imidazole function. Histidine, histidine Me ester and carnosine had
glyoxalase I mimetic activity under physiol. conditions. Camosine
scavenged methylglyoxal to form β -alanyl-N-DL-lactoyl-L-histidine
(lactoylcamosine). This scavenging of α -oxoaldehydes by camosine,
and hydrolysis of the adduct formed to the corresponding **aldonic
acid** catalyzed by acyl-histidine hydrolase, represented a
glyoxalase system mimetic activity. Glyoxalase mimetics are novel
anti-glycation agents that may have therapeutic applications. Their
specific activity, however, needs to be improved to have significant
pharmacol. effect.

CC 1-0 (Pharmacology)

IT Aldehydes, biological studies

RL: **ADV (Adverse effect, including toxicity)**; BSU (Biological
study, unclassified); BIOL (Biological study)

(oxo; glyoxalase I mimetics as novel anti-glycation therapeutic agents)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 9 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:65552 HCAPLUS
DOCUMENT NUMBER: 132:127462
TITLE: Particles, in particular micro- or nanoparticles, of
crosslinked mono- and oligosaccharides, their
production, and cosmetic, pharmaceutical, or food
compositions containing them
INVENTOR(S): Perrier, Eric; Rey-Goutenoire, Sylvie; Buffevant,
Chantal; Levy, Marie-Christine; Pariot, Nadine;
Edwards, Florence; Andry, Marie-Christine
PATENT ASSIGNEE(S): Coletica, Fr.
SOURCE: Ger. Offen., 34 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 19932216	A1	20000127	DE 1999-19932216	19990709
FR 2780901	A1	20000114	FR 1998-8809	19980709
FR 2780901	B1	20000929		
NL 1012517	C2	20000111	NL 1999-1012517	19990705
KR 2000011579	A	20000225	KR 1999-27476	19990708
JP 2000038402	A2	20000208	JP 1999-196705	19990709
JP 3437797	B2	20030818		
US 6197757	B1	20010306	US 1999-350131	19990709
ES 2155793	A1	20010516	ES 1999-1547	19990709
ES 2155793	B1	20011201		
IT 1311514	B1	20020313	IT 1999-T0599	19990709

PRIORITY APPLN. INFO.:

FR 1998-8809 A 19980709

AB Particles consisting of ≥ 1 mono- or oligosaccharide, which are surface-crosslinked in emulsion by esterification of primary OH groups on the saccharides with a polyfunctional acylating agent, are useful as carriers or encapsulating agents for various hydrophilic or lipophilic active substances in preparation of cosmetic, pharmaceutical, or food compns. The particles are biocompatible, biodegradable, and suitable for stabilization and protection of sensitive active substances or for their sustained release. The crosslinking reaction preferably occurs in a water-in-oil emulsion at room temperature and results in formation of a

membrane

of crosslinked saccharide surrounding an aqueous phase. The saccharide may be a cyclodextrin; by forming an inclusion compound with an active substance, it can be used to remove or harvest the latter from a liquid medium, or alternatively can slowly release an active substance from an inclusion compound. Thus, 6 mL of a 10% solution of dihydroxyacetone (a ketose) in 1M carbonate buffer (pH 11) was emulsified in 30 mL cyclohexane containing 5% Span 85, and with continued stirring, 40 mL of a 5% solution of terephthaloyl chloride in CHCl₃-cyclohexane (1:4 by volume); after 30 min, the microcapsules were collected and washed. These microcapsules dissolved slowly in 1% Na₂CO₃ solution or in PEG owing to alcoholysis of the ester bonds; the released dihydroxyacetone reacted with glycine to form a brown color. The microcapsules can therefore be used in cosmetic tanning preps.

IC ICM C08B037-00

ICS C08B037-16; B01J013-00; B01F003-08; B01F017-00; A61K009-50;
A61K009-52; A61K009-107; A61K007-00; A61K047-36; A61K047-40;
A61K047-42

CC 62-4 (Essential Oils and Cosmetics)

Section cross-reference(s): 17, 63

IT **Carbohydrates, biological studies**

RL: BUU (Biological use, unclassified); FFD (Food or feed use); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)

(aldonic acids, crosslinked; particles of
crosslinked mono- and oligosaccharides, their production, and cosmetic,
pharmaceutical, or food compns. containing them)

IT **Carbohydrates, biological studies**

RL: BUU (Biological use, unclassified); FFD (Food or feed use); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)

(aldonic acids, lactones, crosslinked; particles of
crosslinked mono- and oligosaccharides, their production, and cosmetic,
pharmaceutical, or food compns. containing them)

IT **Antitumor agents**

Antiviral agents

(nucleosides, crosslinked; particles of crosslinked mono- and
oligosaccharides, their production, and cosmetic, pharmaceutical, or food
compns. containing them)

L48 ANSWER 10 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1999:481647 HCAPLUS
 DOCUMENT NUMBER: 131:142179
 TITLE: Plant extracts for removal of hazardous substances
 INVENTOR(S): Sakata, Shigenobu; Hayashi, Yukiko; Miyake, Shigeo
 PATENT ASSIGNEE(S): Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11209741	A2	19990803	JP 1998-42746	19980119
PRIORITY APPLN. INFO.:			JP 1998-42746	19980119

AB Hazardous and carcinogenic substances such as dioxin are removed with fermentation liquid manufactured from plant material such as evergreen shrub and chems.
 The chems. comprise sugars such as monosaccharide, vitamin, amino acid, protein, mineral water, and mucopolysaccharide. The fermentation liquid is useful for manufacturing food additive, cosmetic, etc.

IC ICM C09K003-00
 ICS A01N065-00; A61K035-78; A62D003-00

CC 11-1 (Plant Biochemistry)
 Section cross-reference(s): 4, 16, 17, 62

IT **Carbohydrates, biological studies**
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
 (aldonic acids; plant exts. for removal of hazardous substances)

IT Chlorides, biological studies
 RL: **ADV (Adverse effect, including toxicity)**; REM (Removal or disposal); BIOL (Biological study); PROC (Process)
 (plant exts. for removal of hazardous substances)

L48 ANSWER 11 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1998:689246 HCAPLUS
 DOCUMENT NUMBER: 129:281032
 TITLE: Pharmaceutical composition containing an iron calcium polyolate
 INVENTOR(S): Burger, Joachim; Kluefers, Peter
 PATENT ASSIGNEE(S): Universitaet Karlsruhe (TH), Germany
 SOURCE: Ger. Offen., 4 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19712493	A1	19981001	DE 1997-19712493	19970325
PRIORITY APPLN. INFO.:			DE 1997-19712493	19970325

AB A pharmaceutical composition for oral Fe therapy with improved bioavailability and diminished side effects contains a water-soluble,

pH-neutral, readily resorbed complex of Fe and Ca with an aliphatic polyol. The Fe complex is stabilized against precipitation of Fe(OH)₃ by addition of Ca²⁺.

Thus, 2.50 g concentrated H₂SO₄ was added to a suspension of Fe₂(SO₄)₃ 9.42 and xylitol 27.12 g in 100 mL H₂O. This suspension was added slowly to a solution of xylitol 27.12 and NaOH 11.67 g in 100 mL H₂O, a solution of 7.83 g CaCl₂·6H₂O in 10 mL H₂O was added, the solution was neutralized to pH 9 with 10% H₂SO₄ solution, the precipitate was removed by centrifugation, the solution was

dried, and the product was packed into gelatin capsules.

IC ICM A61K031-295

ICS A61K033-06; A61K031-70

CC 63-6 (Pharmaceuticals)

IT **Carbohydrates, biological studies**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aldonic acids, complexes with calcium and iron;

pharmaceutical composition containing an iron calcium polyolate)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 12 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:462575 HCAPLUS

DOCUMENT NUMBER: 119:62575

TITLE: Inhibition of growth of human leukemia 60 cells by S-2-hydroxyacylglutathione derivatives

AUTHOR(S): Clelland, James D.; Edwards, Linda G.; Allen, Rosamund E.; Thornalley, Paul J.

CORPORATE SOURCE: Dep. Chem. Biol. Chem., Univ. Essex, Colchester/Essex, CO4 3SQ, UK

SOURCE: Biochemical Society Transactions (1993), 21(2), 165S
CODEN: BCSTB5; ISSN: 0300-5127

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Addition of S-D-lactoylglutathione to the extracellular medium of human leukemic 60 (HL60) cells in culture induced growth arrest and toxicity. Other S-2-hydroxyacylglutathione derivs. were found to also induce growth arrest and toxicity in HL60 cells in culture. No similar toxicity was induced by reduced glutathione and/or the corresponding **aldonic acid**, nor by S-D-lactoylglutathione incubated with corresponding differentiated, nontumor cells, neutrophils. S-D-Lactoylglutathione was the most effective derivative studied with a median effective concentration

IC50 value of 82 µM S-2-hydroxyacylglutathione monoethyl esters also induced growth arrest and toxicity but were less effective than corresponding unesterified compds.

CC 1-6 (Pharmacology)

IT **Neoplasm inhibitors**

(leukemia, hydroxyacylglutathione derivs. as, for human cells)

L48 ANSWER 13 OF 42 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:174628 HCAPLUS

DOCUMENT NUMBER: 118:174628

TITLE: Risk of cancer in pulp and paper industry workers

AUTHOR(S): Szadkowska-Stanczyk, Irena; Szeszenia-Dabrowska, Neonila; Rogaczewska, Teresa

CORPORATE SOURCE: Dep. Epidemiol. Stat., Inst. Ind. Med., Lodz, Pol.

SOURCE: Medycyna Pracy (1992), 43(1), 73-9

CODEN: MEPAAX; ISSN: 0465-5893

DOCUMENT TYPE: Journal; General Review

LANGUAGE: Polish

AB A review with no refs. on research on the increased incidence of stomach, lung, lymphatic system, and stomach cancers in workers exposed to S and Cl compds., turpentine oil, NaOH, AcOH, HCHO, H₂SO₄, EtOH, MeOH, gluconic and **aldonic acids**, H₂O₂, and furfural.

CC 59-0 (Air Pollution and Industrial Hygiene)

Section cross-reference(s): 4, 43

IT 9004-34-6P

RL: **ADV (Adverse effect, including toxicity)**; IMF (Industrial manufacture); BIOL (Biological study); PREP (Preparation)

(pulp, manufacture of, chemical occupational exposure in, cancer risk in relation to)

=> d 148 14-42 bib ab

L48 ANSWER 14 OF 42 MEDLINE on STN DUPLICATE 4

AN 95203648 MEDLINE

DN PubMed ID: 7896061

TI Effect of **aldonic acids** on the uptake of **ascorbic acid** by 3T3 mouse fibroblasts and human T lymphoma cells.

AU Fay M J; Bush M J; Verlangieri A J

CS Department of Physiology, Dartmouth Medical School, Lebanon, NH 03756-0001.

SO General pharmacology, (1994 Nov) 25 (7) 1465-9.

Journal code: 7602417. ISSN: 0306-3623.

CY ENGLAND: United Kingdom

DT Journal; Article; (JOURNAL ARTICLE)

LA English

FS Priority Journals

EM 199504

ED Entered STN: 19950504

Last Updated on STN: 19970203

Entered Medline: 19950424

AB 1. Previously, we reported that calcium L-threonate caused a dose-related increase in uptake of **ascorbic acid** (AA) by human T-lymphoma cells. Preincubation of mouse fibroblasts with calcium L-threonate also resulted in a dose-related augmentation in uptake of AA as compared to non-treated controls. 2. Potassium L-lyxonate increased AA uptake by lymphoma cells, but did not significantly affect uptake by fibroblasts. Tartaric acid decreased uptake of AA by both cell lines. 3. Ouabain and dinitrophenol had no effect on AA uptake nor on the ability of threonate to augment AA uptake by fibroblasts. However, in T-lymphoma cells ouabain and dinitrophenol reduced AA uptake and prevented augmentation of AA uptake by calcium L-threonate.

L48 ANSWER 15 OF 42 MEDLINE on STN

AN 60003967 MEDLINE

DN PubMed ID: 13684759

TI Metabolism of **ascorbic acid** and related uronic **acids**, **aldonic acids**, and pentoses.

AU ASHWELL G; KANFER J; SMILEY J D; BURNS J J

SO Annals of the New York Academy of Sciences, (1961 Apr 21) 92 105-14.

Journal code: 7506858. ISSN: 0077-8923.

DT Journal; Article; (JOURNAL ARTICLE)

LA English

FS OLDMEDLINE

EM 199811
ED Entered STN: 19990716
Last Updated on STN: 19990716
Entered Medline: 19981101

L48 ANSWER 16 OF 42 MEDLINE on STN
AN 60070439 MEDLINE
DN PubMed ID: 13750708
TI Biodegradation of dehydro-L-**ascorbic acid**; 2,3-diketo-**aldonic acid** decarboxylase from rat liver.
AU KAGAWA Y; MANO Y; SHIMAZONO N
SO Biochimica et biophysica acta, (1960 Sep 23) 43 348-9.
Journal code: 0217513. ISSN: 0006-3002.
DT Journal; Article; (JOURNAL ARTICLE)
LA English
FS OLDMEDLINE
EM 199811
ED Entered STN: 19990716
Last Updated on STN: 19990716
Entered Medline: 19981101

L48 ANSWER 17 OF 42 EMBASE COPYRIGHT 2004 ELSEVIER INC. ALL RIGHTS RESERVED.
on STN
AN 1998376888 EMBASE
TI Lactone-ring-cleaving enzyme: Genetic analysis, novel RNA editing, and
evolutionary implications.
AU Kobayashi M.; Shinohara M.; Sakoh C.; Kataoka M.; Shimizu S.
CS M. Kobayashi, Division of Applied Life Sciences, Graduate School of
Agriculture, Kyoto University, Kitashirakawa-Oiwake-cho, Sakyo-ku, Kyoto
606-8502, Japan
SO Proceedings of the National Academy of Sciences of the United States of
America, (27 Oct 1998) 95/22 (12787-12792).
Refs: 36
ISSN: 0027-8424 CODEN: PNASA6
CY United States
DT Journal; Article
FS 004 Microbiology
LA English
SL English
AB A lactonohydrolase from *Fusarium oxysporum* AKU 3702 is an enzyme
catalyzing the hydrolysis of aldonate lactones to the corresponding
aldonic acids. The amino acid sequences of the NH₂
terminus and internal peptide fragments of the enzyme were determined to
prepare synthetic oligonucleotides as primers for the PCR. An approximate
1,000-base genomic DNA fragment thus amplified was used as the probe to
clone both genomic DNA and cDNA for the enzyme. The lactonohydrolase
genomic gene consists of six exons separated by five short introns. A
novel type of RNA editing, in which lactonohydrolase mRNA included the
insertion of guanosine and cytidine residues, was observed. The predicted
amino acid sequence of the cloned lactonohydrolase cDNA showed significant
similarity to those of the gluconolactonase from *Zymomonas mobilis*, and
paraoxonases from human and rabbit, forming a unique superfamily
consisting of C-O cleaving enzymes and P-O cleaving enzymes.
Lactonohydrolase was expressed under the control of the lac promoter in
Escherichia coli.

L48 ANSWER 18 OF 42 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on
STN
AN 1995:39663 BIOSIS

DN PREV199598053963
TI Effect of **aldonic acids** on the uptake of
ascorbic acid by 3T3 mouse fibroblasts and human T lymphoma cells.
AU Fay, Michael J.; Bush, Marilyn J.; Verlangieri, Anthony J. [Reprint
author]
CS Dep. Pharmacol., Univ. Miss., Sch. Pharm., University, MS 38677, USA
SO General Pharmacology, (1994) Vol. 25, No. 7, pp. 1464-1469.
CODEN: GEPHDP. ISSN: 0306-3623.
DT Article
LA English
ED Entered STN: 25 Jan 1995
Last Updated on STN: 14 Mar 1995
AB 1. Previously, we reported that calcium L-threonate caused a dose-related
increase in uptake of **ascorbic acid** (AA) by human T-lymphoma
cells. Preincubation of mouse fibroblasts with calcium L-threonate also
resulted in a dose-related augmentation in uptake of AA as compared to
non-treated controls. 2. Potassium L-lyxonate increased AA uptake by
lymphoma cells, but did not significantly affect uptake by fibroblasts.
Tartaric acid decreased uptake of AA by both cell lines. 3. Ouabain and
dinitrophenol had no effect on AA uptake nor on the ability of threonate
to augment AA uptake by fibroblasts. However, in T-lymphoma cells ouabain
and dinitrophenol reduced AA uptake and prevented augmentation of AA
uptake by calcium L-threonate.

L48 ANSWER 19 OF 42 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on
STN
AN 1985:348845 BIOSIS
DN PREV198580018837; BA80:18837
TI ANALYSIS OF URONIC-**ACID** AND **ALDONIC-ACID**
THEIR LACTONES AND RELATED COMPOUNDS BY HIGH-PERFORMANCE LIQUID
CHROMATOGRAPHY ON CATION-EXCHANGE RESINS.
AU HICKS K B [Reprint author]; LIM P C; HAAS M J
CS EASTERN REGIONAL RESEARCH CENTER, AGRICULTURAL RESEARCH CENTER, US DEP
AGRICULTURE, 600 EAST MERMAID LANE, PHILADELPHIA, PA 19118, USA
SO Journal of Chromatography, (1985) Vol. 319, No. 2, pp. 159-172.
DT Article
FS BA
LA ENGLISH
AB The use of high-performance gel-permeation chromatography on
cation-exchange resins for the direct analysis of 21 examples of the title
compounds is described. The method employs a commercially available
column (HPX-87-H+), a simple isocratic solvent system (0.009 N sulfuric
acid) and sensitive UV detection at 220 nm. Compounds are rapidly (< 15
min) separated by a combination of ion- and size-exclusion mechanisms,
leading to the following general elution sequence: **aldonic** and
uronic **acids**, then **ascorbic acids**, followed by neutral
lactones, and finally N-acetylated amino sugars. The method is a useful,
high-resolution alternative to the traditional gas chromatographic and
anion-exchange chromatographic methods for the analysis of these
compounds.

L48 ANSWER 20 OF 42 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on
STN
AN 1980:115008 BIOSIS
DN PREV198019052506; BR19:52506
TI SUGAR ACIDS AND LACTONES.
AU BRIMACOMBE J S [Reprint author]; FERRIER R J; WILLIAMS J M; WILLIAMS N R
CS DEP CHEM, UNIV DUNDEE, DUNDEE, SCOTL, UK
SO Carbohydr. Chem., pp. P133-138. BRIMACOMBE, J. S. SPECIALIST PERIODICAL

REPORTS CARBOHYDRATE CHEMISTRY, VOL. 11. A REVIEW OF THE LITERATURE
PUBLISHED DURING 1977. XVI+546P. THE CHEMICAL SOCIETY: LONDON, ENGLAND.
ILLUS. 1979 (RECD. 1980).

Publisher: Series: Specialist Periodical Reports Carbohydrate Chemistry.
CODEN: CBHCA4. ISSN: 0576-7172. ISBN: 0-85186-102-4.

DT Book
FS BR
LA ENGLISH

L48 ANSWER 21 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-487530 [46] WPIX
DNC C2004-181616

TI New **aldonic acid** esters of polysaccharides selectively
oxidized at the reducing terminal, useful for coupling with amino
functions of pharmaceutically active agents, especially polypeptides or
proteins.

DC A11 A96 B04 B07
IN SOMMERMEYER, K
PA (SUPR-N) SUPRAMOL PARENTERAL COLLOIDS GMBH
CYC 107
PI WO 2004050710 A2 20040617 (200446)* GE 27

RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE
LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE
DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG
KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM
PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US
UZ VC VN YU ZA ZM ZW

ADT WO 2004050710 A2 WO 2003-EP13622 20031203

PRAI DE 2002-10256558 20021204

AB WO2004050710 A UPAB: 20040720

NOVELTY - **Aldonic acid** esters (I) of polysaccharides
(or derivatives) selectively oxidized at the reducing chain terminal are
new.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for the
preparation of (I).

USE - (I) is used in a claimed method of preparing pharmaceutical
active agents (specifically a polypeptide or protein) with a
polysaccharide (or derivative) coupled onto free amino functions,
involving reacting (I) with the active agent containing amino group(s)
(preferably in an aqueous medium of pH 7-9 at 0-40 deg. C). The modified
active agents obtained by the method are also claimed. Coupling with (I)
is typically useful for increasing the biological half-life or improving
the antigenicity of protein drugs.

ADVANTAGE - The activated polysaccharide derivatives (I) can be
coupled selectively and in targeted stoichiometry with proteins or other
active agents in aqueous solvents, without use of carbodiimides (which can
cause crosslinking **side-reactions**). The (I)-drug
conjugates are obtained simply and selectively.
Dwg.0/4

L48 ANSWER 22 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-442327 [42] WPIX
DNC C2004-165969

TI New **aldonic acid** imidazolides of starch compounds
selectively oxidized at the reducing terminal, useful for coupling with
amino functions of pharmaceutically active agents, e.g. proteins.

DC A96 B04
IN SOMMERMEYER, K

PA (SUPR-N) SUPRAMOL PARENTERAL COLLOIDS GMBH

CYC 1

PI DE 10254745 A1 20040603 (200442)* 4

ADT DE 10254745 A1 DE 2002-10254745 20021123

PRAI DE 2002-10254745 20021123

AB DE 10254745 A UPAB: 20040702

NOVELTY - **Aldonic acid** imidazolides (I) of starch fractions (or derivatives) selectively oxidized at the reducing chain terminal are new.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for the preparation of (I).

USE - (I) is used in a claimed method of preparing pharmaceutical active agents with a polysaccharide (or derivative) coupled onto free amino functions, involving reacting (I) with the active agent to form a stable amide bond. Coupling with (I) is typically useful for increasing the molecular weight or improving the antigenicity of proteins.

ADVANTAGE - The activated polysaccharide derivatives can be coupled with directly proteins or other active agents in aqueous solvents, without use of carbodiimides (which can cause crosslinking **side-reactions**).

Dwg.0/0

L48 ANSWER 23 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN

AN 2003-778946 [73] WPIX

DNC C2003-214391

TI Transdermal delivery device used for treating or preventing pain comprises an opioid and acyl opioid antagonist.

DC B05 B07

IN CASSIDY, J P; KUPPER, R J; REIDENBERG, B; SHARP, D E; SHEVCHUK, I

PA (EURO-N) EUROCELTIQUE SA; (CASS-I) CASSIDY J P; (KUPP-I) KUPPER R J;

(REID-I) REIDENBERG B; (SHAR-I) SHARP D E; (SHEV-I) SHEVCHUK I

CYC 102

PI WO 2003070191 A2 20030828 (200373)* EN 23

RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS

LU MC MW MZ NL OA PT SD SE SI SK SL SZ TR TZ UG ZM ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK

DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR

KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT

RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA

ZM ZW

US 2004033253 A1 20040219 (200414)

AU 2003216321 A1 20030909 (200427)

ADT WO 2003070191 A2 WO 2003-US4999 20030219; US 2004033253 A1 Provisional US 2002-357139P 20020219, Provisional US 2002-357141P 20020219, US 2003-366394 20030214; AU 2003216321 A1 AU 2003-216321 20030219

FDT AU 2003216321 A1 Based on WO 2003070191

PRAI US 2002-357141P 20020219; US 2002-357139P 20020219;

US 2003-366394 20030214

AB WO2003070191 A UPAB: 20040728

NOVELTY - Transdermal delivery device comprises an opioid (A) or its salts and an acyl opioid antagonist (B) or its salts in an amount to inhibit the euphoric effect of (A).

ACTIVITY - Analgesic.

MECHANISM OF ACTION - None given.

USE - Used for treating or preventing pain (claimed) e.g. **cancer** pain, central pain, labor pain, myocardial infarction pain, bone pain and pain associated with intensive care.

ADVANTAGE - The device is tamper resistant and prevents abuse of opioid. The device maintains a level of the opioid, in the blood stream of

0.1-100 ng/ml blood plasma for 16 hours to 7 days (preferably 16-72, especially at least 24 hours).

Dwg.0/3

L48 ANSWER 24 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN

AN 2003-541485 [51] WPIX

DNC C2003-146893

TI Composition useful for treating e.g. blemished, irritated, inflamed, unhealthy, damaged or abnormal mucosa, skin, hair, nail, nostril, ear canal or vaginal conditions comprises a phenyl glycine derivative.

DC B05 D21

IN VAN SCOTT, E J; YU, R J

PA (VSCO-I) VAN SCOTT E J; (YURJ-I) YU R J

CYC 101

PI WO 2003045338 A1 20030605 (200351)* EN 46

RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU
MC MW MZ NL OA PT SD SE SK SL SZ TR TZ UG ZM ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM
ZW

US 2003108496 A1 20030612 (200355)

AU 2002350255 A1 20030610 (200419)

ADT WO 2003045338 A1 WO 2002-US37750 20021126; US 2003108496 A1 Provisional US
2001-333116P 20011127, US 2002-294741 20021115; AU 2002350255 A1 AU
2002-350255 20021126

FDT AU 2002350255 A1 Based on WO 2003045338

PRAI US 2002-294741 20021115; US 2001-333116P 20011127

AB WO2003045338 A UPAB: 20040205

NOVELTY - A composition comprises a phenyl glycine derivative (I) and an excipient.

DETAILED DESCRIPTION - A composition comprises a phenyl glycine derivative of formula (I), its isomeric D or L, non-isomeric or racemic DL, free acid, salt, lactone, amide or ester form, and an excipient.

R1, R2 = H, halo, OH, SH, NH2, NHH2, alkyl, aralkyl, alkoxy, acetoxy, 1-9C acyloxy attached at the 2, 3 or 4 position of the phenyl group;

R3 = H, formyl, acetyl, propanoyl, acyl, alkyl, aralkyl or 1-9C aryl;

R4 = OH, NH2, NHOH, NHH2, or OR; and

R = alkyl, aralkyl or 1-9C aryl (where the H attached to any carbon or nitrogen atom is optionally substituted by halo, OH, SH, NH2, NHH2, alkyl, aralkyl, alkoxy or 1-9C acyl).

Provided that when R1 and/or R2 are OH, SH, NH2, then they are optionally acetylated or acylated with 1 to 9 carbon atoms.

ACTIVITY - Dermatological; Vulnerary; Antiinflammatory; Keratolytic; Antiseborrheic; Antipsoriatic; Antipruritic; Antialopecia; Cytostatic.

A male of 82 year old having chronic plaque psoriasis for 55 year duration was applied topically N-acetyl-4-hydroxyphenyl-glycinamide 10 % cream twice daily to a thick plaque on the right elbow. After 5 days of topical treatment, the erythema and thickness of the plaque had diminished substantially and there was no evidence of any scale. The clinical evaluation was observed to be 75 % after five days of treatment. After 13 days of topical treatment the skin of the treated area appeared to be clinically normal except for residual light pink color and evaluation rated the improvement of 90 %.

MECHANISM OF ACTION - None given.

USE - For improving, treating, ameliorating, alleviating, or reducing cosmetic conditions and dermatological disorders e.g. reducing and

soothing mucosa and skin erythema, inflammation or reaction caused by internal or external factors, treatment and healing of skin, hair, nail; nasal, oral and vaginal mucosa including treatment; for the prevention of cosmetic conditions and dermatological indications as well as cosmetic and clinical signs of changes associated with intrinsic aging, or the damages caused by extrinsic factors as sunlight, radiation, air pollution, wind, cold, dampness, heat, chemicals, smoke, and cigarette smoking; for treating blemished, irritated, inflamed, unhealthy, damaged or abnormal mucosa, skin, hair, nail, nostril, ear canal or vaginal conditions; oral or gum disease; disturbed keratinization; defective syntheses or repair of dermal components, and changes associated with intrinsic and extrinsic aging of skin, nail and hair, dryness of the skin, nail and hair; xerosis; ichthyosis; palmar and plantar hyperkeratoses; dandruff; Darier's disease; lichen simplex chronicus; keratoses; acne; pseudofolliculitis barbae; eczema; psoriasis; pruritus; warts; herpes; age spots; lentigines; melasmas; blemished skin; mottled skin; hyperkeratoses; hyperpigmented skin; abnormal or diminished syntheses of collagen, glycosaminoglycans, proteoglycans and elastin as well as diminished levels of such components in the dermis; cellulite; stretch marks; skin lines; fine lines; wrinkles; thinning of skin, nail plate and hair; skin thickening due to elastosis of photoaging, loss or reduction of skin, nail and hair resiliency, elasticity and recoilability; lack of skin, nail and hair lubricants and luster; dull and older-looking skin, nail and hair; fragility and splitting of nail and hair, wound healing; lack of skin, nail, and hair lubricants and luster, dull and older-looking skin, nail, and hair; fragility and splitting of nail and hair, and skin lightening; loss of skin elasticity and recoilability and leathery skin, loss of skin lubricating substances, increased numbers of blotches and mottles, nodules, pre-cancerous lesions, pigmented spots and mottled skin, changes in qualities and quantities of collagen and elastic fibers, solar elastosis, decrease in collagen fibers, diminution in the number and diameter of elastic fibers in the papillary dermis, atrophy of the dermis, reduction in subcutaneous adipose tissue and deposition of abnormal elastic materials in the upper dermis, yellowing skin, telangiectatic skin and older-looking skin (all claimed).

ADVANTAGE - The composition is beneficial and effective for treating cosmetic and dermatological disorders.

Dwg.0/0

L48 ANSWER 25 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2004-167217 [16] WPIX
 CR 2000-490902 [43]; 2004-059514 [06]
 DNC C2004-066342
 TI Treatment of cosmetic conditions and dermatological disorders e.g. eczema, psoriasis and skin changes associated with aging comprises topical application of a composition comprising N-acetyl-cysteine to an affected area.
 DC A96 B05 C03 D21 D22 E16 E19
 IN VAN SCOTT, E J; YU, R J
 PA (VSCO-I) VAN SCOTT E J; (YURJ-I) YU R J
 CYC 1
 PI US 2003229141 A1 20031211 (200416)* 8
 ADT US 2003229141 A1 Cont of US 1999-227213 19990108, CIP of US 2000-560901 20000428, CIP of US 2003-371504 20030221, US 2003-462885 20030617
 FDT US 2003229141 A1 Cont of US 6159485, CIP of US 6524593
 PRAI US 2003-462885 20030617; US 1999-227213 19990108;
 US 2000-560901 20000428; US 2003-371504 20030221
 AB US2003229141 A UPAB: 20040305
 NOVELTY - Treatment of cosmetic conditions and dermatological disorders

comprises application of a composition (I) comprising a topically acceptable vehicle and N-acetyl cysteine (B) or its isomeric or nonisomeric free acid, salt, lactone, amide and ester forms to an affected area.

DETAILED DESCRIPTION - Treatment of cosmetic conditions and dermatological disorders (skin changes associated with intrinsic and/or extrinsic aging, ichthyosis, palmar and plantar hyperkeratoses, Darier's disease, lichen simplex chronicus, keratoses, pseudofolliculitis barbae, eczema, psoriasis, pruritus, warts, herpes, age spots, pigmented spots, blotches and mottles, nodules and mottled skin, lentigines, melasmas, blemished skin, hyperkeratoses, hyperpigmented skin, abnormal or diminished syntheses of collagen, glycosaminoglycans, proteoglycans and elastin, diminished levels of collagen, glycosaminoglycans, proteoglycans and elastin, stretch marks, thinning of skin, fragile skin, deepening of skin lines and fine lines, atrophy, skin thickening due to elastosis of photoaging, loss or reduction of skin resiliency, elasticity and recoilability, elastotic changes characterized by leathery, lusterless, uneven, coarse, rough or yellowish skin, older-looking skin and telangiectatic skin) and skin lightening and brightening comprises application of a composition (I) comprising a topically acceptable vehicle and N-acetyl cysteine (B) or its isomeric or nonisomeric free acid, salt, lactone, amide and ester forms to an affected area.

ACTIVITY - Antiinflammatory; Antipruritic; Dermatological; Keratolytic; Antipsoriatic; Virucide.

(I) with 5% N-acetyl-spermidine cream was tested on a male subject having red and itchy skin on his left forearm. A few minutes after the topical application, the itch disappeared and the erythema gradually subsided.

MECHANISM OF ACTION - None given in the source material.

USE - (I) is useful in the treatment of skin changes associated with intrinsic and/or extrinsic aging, ichthyosis, palmar and plantar hyperkeratoses, Darier's disease, lichen simplex chronicus, keratoses, pseudofolliculitis barbae, eczema, psoriasis, pruritus, warts, herpes, age spots, pigmented spots, blotches and mottles, nodules and mottled skin, lentigines, melasmas, blemished skin, hyperkeratoses, hyperpigmented skin, abnormal or diminished syntheses of collagen, glycosaminoglycans, proteoglycans and elastin, diminished levels of collagen, glycosaminoglycans, proteoglycans and elastin, stretch marks, thinning of skin, fragile skin, deepening of skin lines and fine lines, atrophy, skin thickening due to elastosis of photoaging, loss or reduction of skin resiliency, elasticity and recoilability, elastotic changes characterized by leathery, lusterless, uneven, coarse, rough or yellowish skin, older-looking skin, telangiectatic skin and for skin lightening and brightening.

Dwg.0/0

L48 ANSWER 26 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2004-088823 [09] WPIX
 CR 2002-026007 [03]; 2003-810948 [76]
 DNC C2004-036151
 TI Composition useful for treating neurological infection comprises hydrophilic N-linked glycosyl prodrug compound and formulary.
 DC B03
 IN CHRISTIAN, S T
 PA (CHRI-I) CHRISTIAN S T
 CYC 1
 PI US 2003130205 A1 20030710 (200409)* 24
 ADT US 2003130205 A1 CIP of US 2000-547501 20000412, CIP of US 2000-547506 20000412, US 2002-274798 20021021

PRAI US 2002-274798 20021021; US 2000-547501 20000412;
US 2000-547506 20000412

AB US2003130205 A UPAB: 20040205

NOVELTY - A pharmaceutical composition (C1) comprises hydrophilic N-linked glycosyl prodrug compound (a) and a formulary (b).

DETAILED DESCRIPTION - A pharmaceutical composition (C1) comprises hydrophilic N-linked glycosyl prodrug compound (a) and a formulary (b). (a) comprises an anti-infective prodrug compound covalently linked with a saccharide (other than cyclodextrin or a glucuronide) through an amide or an amine bond and (b) comprises an agent selected from additive, stabilizer, carrier, binder, buffer, excipient, emollient, disintegrant, lubricating agent, antimicrobial agent or a preservative.

INDEPENDENT CLAIMS are included for the following:

(a) preparation of (a) for neuraxial delivery involving reacting an anti-infective prodrug compound with a saccharide moiety under conditions for formation of an amide or amine bond between the anti-infective prodrug compound and the saccharide moiety;

(b) preparation of (C1) involving: 1a) preparing (a); and 2a) formulating (a) into the pharmaceutical composition by addition of an agent selected from additive, stabilizer, carrier, binder, buffer, excipient, emollient, disintegrant, lubricating agent, antimicrobial agent or a preservative; and

(c) improvement of aqueous solubility and blood brain barrier penetrability of a drug involving forming a covalent chemical bond between the drug and a sugar or oligosaccharide, in which drug comprises an amide or amine group and the drug bonded to the sugar or oligosaccharide comprising a compound of formula A'-B'-D'-E'.

A' = anti-infective prodrug;

B' = lower alkyl;

D' = nitrogen linker amine or amide;

E' = saccharide.

Provided that E' is not cyclodextrin.

ACTIVITY - Neuroprotective; Antimicrobial; Antibacterial; Fungicide; Virucide; Anti-parasitic; Antiinflammatory; Anti-HIV; CNS-Gen.; Gastrointestinal-Gen.

MECHANISM OF ACTION - Microbe growth inhibitor.

Test details are described but no results given.

USE - For treating neurological infection (all claimed). Also for treating infectious disease with microbe e.g. Pseudomonas aeruginosa or Escherichia coli; for treating infections caused by bacteria, fungus, virus and parasite; pulmonary infections (e.g. pneumonia, chronic bronchitis, infections in cystic fibrosis, Pneumocystis carinii infections in the HIV infected patients, urinary tract infection, vaginal infection, middle ear infection (e.g. otitis media), gastrointestinal infection, central and peripheral nervous system infection, infections of dense tissue.

ADVANTAGE - The composition provides improved delivery of anti-infective sulfonyl-aminy and -amidyl glyco-conjugates pharmaceutical agents, which has improved physical properties and decreased toxicity. The composition has increased therapeutic efficacy at lower administered dosage, which reduces the risk of systemic toxicity, allergy and/or hypersensitivity. (I) has relatively high aqueous solubility compared to sulfamethoxazole. The compounds are transportable by saccharide transporters in the gastrointestinal tract and in endothelial cells at tissue and blood brain barrier. The composition improves aqueous solubility and blood brain barrier penetrability of drug. The composition exhibits fewer side effects and fewer allergic and hypersensitivity reactions.

Dwg. 0/0

L48 ANSWER 27 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2003-810948 [76] WPIX
CR 2002-026007 [03]; 2004-088823 [09]
DNC C2003-225283
TI Preparation of hydrophilic N-linked glycosyl prodrug compound useful in the treatment of e.g. neurological disorder involves N-linking central nervous system acting prodrug with saccharide to form amide or amine bond.
DC B05 D22
IN CHRISTIAN, S T
PA (CHRI-I) CHRISTIAN S T
CYC 1
PI US 2003119761 A1 20030626 (200376)* 32
ADT US 2003119761 A1 CIP of US 2000-547506 20000412, US 2002-198798 20020718
PRAI US 2002-198798 20020718; US 2000-547506 20000412
AB US2003119761 A UPAB: 20040205

NOVELTY - Preparation of hydrophilic N-linked glycosyl prodrug compound (a) for neuraxial delivery involves N-linking CNS acting prodrug compound with saccharide moiety to form amide or amine bond between the CNS acting prodrug compound and the saccharide moiety.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

(1) a pharmaceutical composition (I) comprising (a) and formulary (b). (a) comprises CNS acting prodrug compound covalently linked with a saccharide through amide or amine bond. (b) comprises an agent (c). (c) is additive, stabilizer, carrier, binder, buffer, excipient, emollient, disintegrant, lubricating agent, antimicrobial agent or preservative. The saccharide moiety is not cyclodextrin or glucuronide;

(2) preparation of (I) involving N-linking CNS acting prodrug compound with saccharide moiety to form amide or amine bond between the CNS acting prodrug compound and the saccharide moiety, and formulating (a) into (I) by addition of (c);

(3) treating neurological dysfunction involving administering a pharmaceutical composition comprising compound of formula A-B-D-E (II);

(4) improving aqueous solubility and blood brain barrier penetrability of drug involving forming a covalent chemical bond between the drug and sugar or saccharide. The drug comprises amide or amine group and is bonded to the sugar or saccharide comprising (II); and

(5) treating a subject requiring metabolic replacement therapy involving administering a therapeutic compound comprising hydrophilic compound transportable intact by intestinal glucose transporter, transportable intact in blood, transportable intact by endothelial cells at blood brain barrier and metabolizable by neuronal cell. The therapeutic compound further comprises compound binding to dopamine receptor and metabolizable in the neuronal cell.

A = CNS-acting prodrug compound;

B = lower alkyl;

D = nitrogen linker amine or amide;

E = saccharide.

Provided that E is not cyclodextrin or glucuronide.

ACTIVITY - Neuroprotective; Antimicrobial; Anticonvulsant; Neuroleptic; Nootropic; Antidepressant; Antiparkinsonian; Tranquillizer; Vasotropic; Cytostatic; Uropathic; Anesthetic; Hypertensive; Hypotensive; Analgesic; Antialcoholic; Antiaddictive; Antianginal; Hepatotropic; Cerebroprotective; Antimicrobial; Antibacterial; Virucide; Fungicide; Cardiovascular-Gen.; Antiparasitic.

MECHANISM OF ACTION - Dopamine receptor binder.

USE - For neuraxial delivery and for treating neurological dysfunction; improving aqueous solubility and blood brain barrier

penetrability of drug; for treating a subject requiring metabolic replacement therapy e.g. patient with neurological dysfunction, Parkinson's disease and Parkinson's related disease (claimed) in the treatment of peripheral and central neurological dysfunction e.g. infectious disease, epilepsy, impaired motor dysfunction, schizophrenia, cognition, depression, behavior and mood disorder, anxiety, stress, vascular disease, **cancer**, urinary disease; in anesthesia, sedation, hypnosis, analgesia, locomotor deficiency, hyperprolactinemia, Tourette's syndrome, Huntington's disease, psychosis, chronic psychiatric illness, bipolar disorder, chronic alcoholism, cocaine abuse, attention deficit disorder, physiological stress, coronary hypertension, angina, Wilson's disease and tardive dyskinesia and microbial infection caused by e.g. bacteria, virus, fungus, rickettsia, mycoplasma, prion agent and parasite, hypotension, cardiovascular disease and hypertension.

ADVANTAGE - (a) has good aqueous solubility and pharmacokinetic half-life in blood; is transportable by saccharide transporters in the gastrointestinal tract and in the endothelial cells at the blood brain barrier. (a) promotes and up-regulates intestinal and blood brain barrier transport of poorly aqueous soluble amine and amide containing pharmaceutical agents.

Dwg.0/0

L48 ANSWER 28 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2003-567230 [53] WPIX
 CR 1996-058197 [06]; 2000-195414 [17]; 2001-417781 [44]
 DNC C2003-153005
 TI Non-acidic chewable prenatal nutritional composition e.g. chewable tablet for providing vitamin C supplementation to pregnant woman, comprises vitamin C derivative and preset amount of folic acid compound.
 DC B03 B05 D13
 IN KIRSCHNER, M I; LEVINSON, R S; PARADISSIS, G N
 PA (DRUG-N) DRUGTECH CORP
 CYC 1
 PI US 2003068372 A1 20030410 (200353)* 16
 ADT US 2003068372 A1 CIP of US 1994-262515 19940620, CIP of US 1995-474071 19950607, Cont of US 1998-128466 19980804, Cont of US 1999-448744 19991124, Cont of US 1999-451849 19991201, Cont of US 2001-949710 20010912, CIP of US 2002-207968 20020731, US 2002-308051 20021203
 FDT US 2003068372 A1 CIP of US 5869084, Cont of US 6352713, Cont of US 6488956
 PRAI US 2002-308051 20021203; US 1994-262515 19940620;
 US 1995-474071 19950607; US 1998-128466 19980804;
 US 1999-448744 19991124; US 1999-451849 19991201;
 US 2001-949710 20010912; US 2002-207968 20020731
 AB US2003068372 A UPAB: 20030820

NOVELTY - A non-acidic chewable prenatal nutritional composition, comprises vitamin C derivative and 0.1-5 mg of folic acid compound. The vitamin C derivative and folic acid compound are contained within a stable chewable dosage form having a pH of 5.5-9.5.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a method for administering vitamin C to a pregnant woman without causing irritation to esophagus or pharynx or gastrointestinal upset, which involves administering 10-1000 mg of vitamin C derivative in a stable chewable dosage form having a pH of 5.5-9.5.

USE - As chewable tablet, chewable lozenge, particulate matrix, cereal, health bar, confection, nutritive food, quick chew and/or quick dissolve for providing vitamin C supplementation to pregnant woman (claimed).

ADVANTAGE - The composition is non-acidic and therefore provides vitamin C in adequate levels for pregnant woman while minimizing or

eliminating gastric upset, dyspepsia, diarrhea, gastric inflammation and/or tooth enamel erosion.
Dwg.0/0

L48 ANSWER 29 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2002-471803 [50] WPIX
CR 2002-547668 [58]
DNC C2002-134234
TI Immobilizing active agents on fibres, e.g. for use in hair shampoo, involves treatment with separate components derived from active agents and having complementary functional groups such as amino and lactone.
DC B07 D18 D21 D25 E19 F06
IN BUSCH, P; GASSENMEIER, T; HUCHEL, U; NAUMANN, F; GASSENMEIER, T O; KAINZ, S; KLEEN, A
PA (HENK) HENKEL KGAA
CYC 49
PI WO 2002043675 A2 20020606 (200250)* GE 42
RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR
W: AU BG BR BY CA CN CZ DZ HU ID IL IN JP KR MX NO NZ PL RO RU SG SI
SK UA US UZ VN YU ZA
DE 10059749 A1 20020620 (200250)
AU 2002026364 A 20020611 (200264)
AU 2002029578 A 20020611 (200264)
EP 1337229 A2 20030827 (200357) GE
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE TR
ADT WO 2002043675 A2 WO 2001-EP13965 20011129; DE 10059749 A1 DE 2000-10059749 20001201; AU 2002026364 A AU 2002-26364 20011129; AU 2002029578 A AU 2002-29578 20011129; EP 1337229 A2 EP 2001-990457 20011129, WO 2001-EP13965 20011129
FDT AU 2002026364 A Based on WO 2002043680; AU 2002029578 A Based on WO 2002043675; EP 1337229 A2 Based on WO 2002043675
PRAI DE 2000-10059749 20001201; DE 2000-10059750 20001201
AB WO 200243675 A UPAB: 20030906
NOVELTY - A method for immobilizing active agents on fibres involves treatment with (A) a component with primary or secondary amino, epoxide, carbonyl or lactone groups and (B) a component with complementary functional groups from the above list, which co-react to form an amide, Schiff base or amino-alcohol; (A) and (B) is derived from an active agent.
DETAILED DESCRIPTION - A method for immobilizing active agents on fibres involves treating the fibres with a multi-component system comprising (A) reactive component(s) with a molecular weight of not more than 1000 containing at least one functional group selected from (a) primary amino, (b) secondary amino, (c) epoxide, (d) carbonyl and (e) lactone groups and (B) reactive component(s) with a molecular weight of not more than 1000 containing complementary functional group(s) as listed above (a-e). Components (A) and (B) react together to form a carboxylic acid amide, a Schiff base or an aminoalcohol and at least one of the components (A) and (B) is derived from an active agent. INDEPENDENT CLAIMS are also included for:
(a) hair obtained by this method;
(b) compounds of formula (V) and (VI);
(c) compositions containing components (A) and (B) as described above;
(d) a kit-of-parts with (A) and (B) as separate components.
USE - In 2-component systems for the restructuring, repair and treatment of fibres, especially hair (to improve fibre properties such as feel, body etc.), e.g. in shampoos, conditioners, rinses, aerosols and gels.
ADVANTAGE - Enables the permanent fixation of active agents to hair

or other fibres without using hazardous or environmentally harmful substances. This effect is probably due to the reaction of the two components to form an active product which is immobilised within the fibre cavities because of its size (or adsorbed on the surface).

Dwg.0/0

L48 ANSWER 30 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2001-457454 [49] WPIX
 DNC C2001-138361
 TI Mineral water composition for establishing and maintaining healthy digestive system contains bifidobacterium probiotic agent.
 DC D13 D16
 IN DYRR, L; THOMAS, S
 PA (DYRR-I) DYRR L; (THOM-I) THOMAS S
 CYC 94
 PI WO 2001052672 A1 20010726 (200149)* EN 30
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TR TZ UG ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM
 DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC
 LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE
 SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW
 AU 2001029593 A 20010731 (200171)
 US 6383534 B1 20020507 (200235)
 ADT WO 2001052672 A1 WO 2001-US1666 20010118; AU 2001029593 A AU 2001-29593
 20010118; US 6383534 B1 US 2000-484736 20000118
 FDT AU 2001029593 A Based on WO 2001052672
 PRAI US 2000-484736 20000118
 AB WO 200152672 A UPAB: 20010831
 NOVELTY - A mineral water composition consists of carboxylic acid(s), bifidobacterium probiotic agent, and mineral acid(s).
 USE - The composition is used to establish and maintain a healthy digestive system. It can be ingested as a concentrate or diluted into beverages or other foods.
 ADVANTAGE - The composition effectively establishes and maintains a healthy digestive system by reducing pathogenic microorganisms and their toxins, allowing edible co-consumed mineral and trace elements to be absorbed by the intestines.
 Dwg.0/0

L48 ANSWER 31 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2001-417781 [44] WPIX
 CR 1996-058197 [06]; 2000-195414 [17]; 2003-567230 [53].
 DNN N2001-309563 DNC C2001-126223
 TI Stable non-acidic chewable prenatal nutritional composition comprises vitamin C, folic acid derivative and optionally minerals, for pregnant women.
 DC B05 D13 P32
 IN KIRSCHNER, M I; LEVINSON, R S; PARADISSIS, G N; LEVISON, R S
 PA (DRUG-N) DRUGTECH CORP
 CYC 95
 PI WO 2001039601 A1 20010607 (200144)* EN 77
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TZ UG ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM
 DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC
 LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE
 SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW
 AU 2000070884 A 20010612 (200154)

US 6352713 B1 20020305 (200224)
 US 2002034543 A1 20020321 (200224)
 EP 1235487 A1 20020904 (200266) EN
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
 RO SE SI
 ES 2183750 T1 20030401 (200328)
 BR 2000016065 A 20030527 (200344)
 MX 2002005486 A1 20021201 (200377)

ADT WO 2001039601 A1 WO 2000-US23766 20000831; AU 2000070884 A AU 2000-70884
 20000831; US 6352713 B1 US 1999-451849 19991201; US 2002034543 A1 Cont of
 US 1999-451849 19991201, US 2001-949710 20010912; EP 1235487 A1 EP
 2000-959592 20000831, WO 2000-US23766 20000831; ES 2183750 T1 EP
 2000-959592 20000831; BR 2000016065 A BR 2000-16065 20000831, WO
 2000-US23766 20000831; MX 2002005486 A1 WO 2000-US23766 20000831, MX
 2002-5486 20020531

FDT AU 2000070884 A Based on WO 2001039601; EP 1235487 A1 Based on WO
 2001039601; ES 2183750 T1 Based on EP 1235487; BR 2000016065 A Based on WO
 2001039601; MX 2002005486 A1 Based on WO 2001039601

PRAI US 1999-451849 19991201; US 2001-949710 20010912

AB WO 2001039601 A UPAB: 20031128
 NOVELTY - Non-acidic chewable prenatal nutritional composition comprising
 vitamin C derivative (I) and folic acid compound (II) in a stable dosage
 form, is new.
 USE - The composition is used as a prenatal dietary supplement. The
 composition does not cause irritation to the esophagus or pharynx and
 gastrointestinal upset. The composition is useful for pregnant women
 suffering from low **ascorbic** acid tolerance or high blood
 pressure and those with a tendency to form kidney stones. It is also
 useful for immuno-compromised pregnant women. The vitamin C in the
 composition does not cause tooth enamel erosion, diarrhea or gastric
 inflammation (all claimed).
 ADVANTAGE - The composition is non-acidic and therefore provides
 vitamin C in adequate levels for pregnant women while minimizing or
 eliminating tooth enamel erosion, dyspepsia or gastric inflammation.
 Dwg.0/0

L48 ANSWER 32 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2001-235065 [24] WPIX
 DNC C2001-070430
 TI Pulmonary administration of mineral **ascorbates** to treat
 pulmonary disorders e.g. respiratory distress syndrome, pneumonia, viral
 infection, asthma, lung **cancer** and bronchitis.
 DC B03 B05
 IN ZIDICHOUSKI, J
 PA (OXYC-N) OXYCAL LAB INC
 CYC 31
 PI WO 2001015777 A1 20010308 (200124)* EN 39
 RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE
 W: AU CA CN IS JP KP KR MX NO NZ SG TR US
 AU 9957978 A 20010326 (200137)

ADT WO 2001015777 A1 WO 1999-US19977 19990831; AU 9957978 A AU 1999-57978
 19990831, WO 1999-US19977 19990831

FDT AU 9957978 A Based on WO 2001015777

PRAI WO 1999-US19977 19990831

AB WO 2001015777 A UPAB: 20011024
 NOVELTY - Administration of a vitamin C component to the lung-air exchange
 surface of lung tissue wherein the Vitamin C component is a mineral
ascorbate.
 DETAILED DESCRIPTION - Pulmonary administration of a mineral

ascorbate, where the **ascorbate** is selected from an alkaline earth metal **ascorbate** e.g. Mg or Ca **ascorbate**, a transition metal **ascorbate** e.g. zinc **ascorbate** or an alkali metal **ascorbate** e.g. sodium or potassium **ascorbate**. The composition for inhalation administration comprises an inhalable aerosol including solid particles of a mineral **ascorbate** or an inhalable aerosol of liquid particles containing the mineral **ascorbate** suspended in a carrier gas.

An INDEPENDENT CLAIM is also included for methods of applying a mineral **ascorbate** to the lung-exchange surface of the lung tissue comprising: (1) forming a composition comprising a particulate mineral **ascorbate** with particle size 0.5-10 microns or forming a liquid composition comprising a mineral **ascorbate** in a liquid carrier; (2) aerolizing the composition or liquid composition with a gaseous carrier; and (3) applying the aerosolized composition to the lung-air exchange surface of lung tissue by inhalation.

ACTIVITY - Antiinflammatory; antibacterial; virucide; antiasthmatic; tuberculostatic; cytostatic; antiallergic.

MECHANISM OF ACTION - None given.

USE - Vitamin C compositions can be used to treat a wide variety of lung-specific conditions including infant and adult respiratory distress syndrome, age-related decrease in lung function, viral pneumonia, bacterial pneumonia, Group B streptococcal infections, oxygen toxicity, alpha -1-antiprotease deficiency, emphysema, asthma, the deleterious effects of smoking, tuberculosis, lung **cancer**, bronchitis, cystic fibrosis, mucopurulent and purulent exacerbation of simple mucoid bronchitis, bronchorrhea, bronchopneumonia, purulent pneumonia, pneumonic-alveolar consolidation, bronchiectasis, bronchocoele, post-transplantation obliterative bronchiolitis and allergenic bronchiolitis and chronic obstructive pulmonary disease. It may also be used as a pre-treatment to hyperbaric oxygen therapy. Other active agents may be co-administered in the composition including antivirals, antibacterials, fungicides, antibiotics, protease inhibitors, antioxidants, antiinflammatories, antiallergenics, beta -adrenergic agonists, sympathomimetic amines, mucolytics and chemotherapeutic agents.

ADVANTAGE - The composition allows direct pulmonary administration which is more efficient than oral administration and increases **ascorbic** acid content at the lung-air exchange interface.

Dwg.0/0

L48 ANSWER 33 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2000-295393 [26] WPIX
 DNC C2000-089440
 TI Shelf-stable soup products to prepare palatable soup comprises one or more edible acids other than polymeric food acceptable acids.
 DC D13
 IN HAZELL, N J G
 PA (MAST-N) MASTERFOODS CV
 CYC 1
 PI GB 2342272 A 20000412 (200026)* 12
 ADT GB 2342272 A GB 1998-21759 19981006
 PRAI GB 1998-21759 19981006
 AB GB 2342272 A UPAB: 20000531

NOVELTY - Shelf-stable soup products to prepare palatable soup comprises one or more edible acids other than polymeric food acceptable acids.

DETAILED DESCRIPTION - A shelf-stable soup product comprises one or more edible acids other than polymeric food acceptable acids to make the pH of the product to 4.3. The addition of milk to the soup provides a palatable soup having a pH of 4.8 or more.

INDEPENDENT CLAIMS are also included for:

(i) a method of preparation of a palatable soup by adding milk to the shelf stable soup product to provide the palatable soup having a pH of 4.8 or more;

(ii) a process for the preparation of shelf stable soup product by acidifying the soup product and packaging. Then the acidified soup is stabilized by heating at 85-100 deg. C; and

(iii) a packaged shelf stable product comprises a container (A) having shelf stable soup and a container (B) having milk or a cream.

The two containers are packaged separately for mixing shortly before consumption.

USE - To prepare soups in home with soup products made from fruits, vegetables, meats, slurries of vegetable seed fiber, salad dressing, sauces, beverages such as juices, and egg yolks. The soup is also used to prepare palatable soup by adding milk (claimed).

ADVANTAGE - The acid stabilized soup does not contain polymeric acids which impart pickle taste and detract the palatability of the product. The soup products are rendered palatable by adding milk which has acid base buffering capacity. The soup is instant one which can be palatized by adding milk. The acidified soup can be stabilized at atmospheric temperature without retorting. This in turn broadens the range of packaging materials that are available from cans to glass jars or plastic film sachets. The soup is stable against spoilage on storage for three months at 20 deg. C (claimed).

Dwg.0/0

L48 ANSWER 34 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2000-163212 [15] WPIX
 DNC C2000-051125
 TI Micro and nano particles useful e.g. as carriers of medicines, and agrochemicals, absorbents for cosmetic purposes, and for separations and analysis..
 DC A11 A96 B07 D13 D21 J04
 IN ANDRY, M; BUFLEVANT, C; EDWARDS, F; LEVY, M; PARIOT, N; PERRIER, E; REY-GOUTENOIRE, S; ANDRY, M C; LEVY, M C; REY, G S
 PA (COLE-N) COLETICA; (COLE-N) COLETICA SA; (ANDR-I) ANDRY M; (BUFF-I) BUFLEVANT C; (EDWA-I) EDWARDS F; (LEVY-I) LEVY M; (PARI-I) PARIOT N; (PERR-I) PERRIER E; (REYG-I) REY-GOUTENOIRE S
 CYC 8
 PI FR 2780901 A1 20000114 (200015)* 65
 DE 19932216 A1 20000127 (200015)
 NL 1012517 C2 20000111 (200017)
 JP 2000038402 A 20000208 (200018) 26
 KR 2000011579 A 20000225 (200102)
 US 6197757 B1 20010306 (200115)
 ES 2155793 A1 20010516 (200138)
 ES 2155793 B1 20011201 (200205)
 IT 1311514 B 20020313 (200251)
 JP 3437797 B2 20030818 (200356) 26
 ADT FR 2780901 A1 FR 1998-8809 19980709; DE 19932216 A1 DE 1999-1032216 19990709; NL 1012517 C2 NL 1999-1012517 19990705; JP 2000038402 A JP 1999-196705 19990709; KR 2000011579 A KR 1999-27476 19990708; US 6197757 B1 US 1999-350131 19990709; ES 2155793 A1 ES 1999-1547 19990709; ES 2155793 B1 ES 1999-1547 19990709; IT 1311514 B IT 1999-TO599 19990709; JP 3437797 B2 JP 1999-196705 19990709
 FDT JP 3437797 B2 Previous Publ. JP 2000038402
 PRAI FR 1998-8809 19980709
 AB FR 2780901 A UPAB: 20000323
 NOVELTY - Particles comprise cell walls formed by the crosslinking of one

or more mono- or oligosaccharides, using emulsion interfacial crosslinking, preferably at ambient temperature, of at least one primary alcohol group on the saccharide with a polyfunctional acylating agent, preferably a diacid halide (more preferably diacid chloride).

DETAILED DESCRIPTION - Particles comprise cell walls formed by the crosslinking of one or more mono- or oligosaccharides, using emulsion interfacial crosslinking, preferably at ambient temperature, of at least one primary alcohol group on the saccharide with a polyfunctional acylating agent, preferably a diacid halide (more preferably diacid chloride).

An INDEPENDENT CLAIM is also included for the preparation of the particles.

USE - The compositions are prepared for cosmetic, pharmaceutical, dietetic, agro-alimentary and agro-industrial purposes. Crosslinked cyclodextrin particles form inclusion complexes readily and these may also be used for the separation of stereoisomers, as catalysts, for the extraction of materials, for detoxification of liquids, and for analytical purposes. Cosmetics containing crosslinked cyclodextrin particles have the property of absorbing excess lipids from the skin, sweat degradation products, and the substances responsible for bad breath. The particles are also useful for preparing slow release pharmaceutical compositions.

DESCRIPTION OF DRAWING(S) - The figures a and b show the infra red spectra of the starting cyclodextrin and of the crosslinked microparticles respectively.

Dwg.2/4

L48 ANSWER 35 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2001-026010 [04] WPIX
 CR 2001-041853 [06]
 DNC C2001-008176
 TI Production of polyol (especially sugar) esters comprises reacting a polyol with an alkyl carboxylate ester in the presence of a hydrolase enzyme to selectively esterify primary hydroxy groups.
 DC B05 D16 D21 E13
 IN BORNSCHEUER, U; OTTO, R; SCHMID, R D; SYLDATK, C; YAN, J; YAN, Y
 PA (HENK) HENKEL KGAA; (COGN-N) COGNIS DEUT GMBH; (COGN-N) COGNIS DEUT GMBH & CO KG
 CYC 21
 PI DE 19924221 A1 20001109 (200104)* 5
 WO 2000068408 A1 20001116 (200104) GE
 RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE
 W: JP US
 EP 1175500 A1 20020130 (200216) GE
 R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE
 JP 2003523728 W 20030812 (200355) 19
 EP 1175500 B1 20040804 (200451) GE
 R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE
 DE 50007297 G 20040909 (200459)
 ADT DE 19924221 A1 DE 1999-1024221 19990528; WO 2000068408 A1 WO 2000-EP3764 20000426; EP 1175500 A1 EP 2000-936699 20000426, WO 2000-EP3764 20000426; JP 2003523728 W JP 2000-616374 20000426, WO 2000-EP3764 20000426; EP 1175500 B1 EP 2000-936699 20000426, WO 2000-EP3764 20000426; DE 50007297 G DE 2000-00007297 20000426, EP 2000-936699 20000426, WO 2000-EP3764 20000426
 FDT EP 1175500 A1 Based on WO 2000068408; JP 2003523728 W Based on WO 2000068408; EP 1175500 B1 Based on WO 2000068408; DE 50007297 G Based on EP 1175500, Based on WO 2000068408
 PRAI DE 1999-19920558 19990505
 AB DE 19924221 A UPAB: 20040915

NOVELTY - Production of polyol esters comprises reacting a polyol with an alkyl carboxylate ester in the presence of a hydrolase enzyme.

USE - The process is especially useful for making sugar fatty acid esters, e.g. useful as surfactants or active ingredients in detergent, cosmetic, pharmaceutical or food products, especially **ascorbic** acid fatty acid esters.

ADVANTAGE - Polyols are selectively esterified at primary hydroxy groups.
Dwg.0/0

L48 ANSWER 36 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
AN 1999-590820 [50] WPIX
DNC C1999-172458
TI New lyophilized polynucleotide composition useful for protein production and as in vivo reagents in gene therapy, antisense protocols and vaccine applications.
DC A11 A25 A96 A97 B04 C03 C07
IN DELUCA, P P; MUSUNURI, S
PA (AMHP) WYETH; (AMHP) AMERICAN HOME PROD CORP
CYC 86
PI WO 9945966 A1 19990916 (199950)* EN 51
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL
OA PT SD SE SL SZ UG ZW
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB
GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU
LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR
TT UA UG US UZ VN YU ZW
AU 9930868 A 19990927 (200006)
BR 9908754 A 20001128 (200067)
EP 1061955 A1 20001227 (200102) EN
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE
CN 1294520 A 20010509 (200146)
KR 2001074441 A 20010804 (200210)
JP 2002506048 W 20020226 (200219) 51
MX 2000008761 A1 20020301 (200362)
AU 765177 B 20030911 (200369)
ADT WO 9945966 A1 WO 1999-US5547 19990312; AU 9930868 A AU 1999-30868
19990312; BR 9908754 A BR 1999-8754 19990312; WO 1999-US5547 19990312; EP
1061955 A1 EP 1999-912502 19990312; WO 1999-US5547 19990312; CN 1294520 A
CN 1999-803874 19990312; KR 2001074441 A KR 2000-709929 20000907; JP
2002506048 W WO 1999-US5547 19990312; JP 2000-535379 19990312; MX
2000008761 A1 WO 1999-US5547 19990312; MX 2000-8761 20000907; AU 765177 B
AU 1999-30868 19990312
FDT AU 9930868 A Based on WO 9945966; BR 9908754 A Based on WO 9945966; EP
1061955 A1 Based on WO 9945966; JP 2002506048 W Based on WO 9945966; MX
2000008761 A1 Based on WO 9945966; AU 765177 B Previous Publ. AU 9930868,
Based on WO 9945966
PRAI US 1998-78080P 19980313
AB WO 9945966 A UPAB: 20040716
NOVELTY - Lyophilized polynucleotide composition (I) comprises:
(1) at least one polynucleotide;
(2) at least one cryoprotectant and
(3) 0.5-6 weight% water based on the total weight of the composition.
The ratio of polynucleotide to cryoprotectant is 0.001-1.0 pt. wt
polynucleotide per 1.0 pt. wt cryoprotectant. The polynucleotide
composition retains at least 90% supercoil over at least 10 days at 37
deg. C.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the
following:

(A) a liquid polynucleotide composition (II) containing (I), reconstituted in water and having a pH of 6.2-7.8;

(B) a pharmaceutical composition (III) comprising (I) and/or (II) and excipient or carrier;

(C) preparation of (I);

(D) lyophilizing a polynucleotide composition which comprises:

(a) freezing the composition;

(b) subjecting the frozen composition to a vacuum;

(c) primary drying and increasing the pressure on the product of drying;

(d) secondary drying and recovering lyophilized product.

A polynucleotide solution containing a cryoprotectant and cooled until frozen and subjected to a vacuum is subjected to a primary drying cycle which comprises gradually heating the solution at -20 to 20 deg. C over 5-30 hours and avoiding melt back of the solution. The primary drying cycle reduces the time necessary for complete lyophilization and gives the lyophilized polynucleotide in an amorphous physical structure which retains at least 90% supercoil over at least 10 days at 37 deg. C.

USE - The polynucleotide solution is useful in a polynucleotide composition and a pharmaceutical composition (claimed) which are useful in industrial, pharmaceutical, medical, nutritional and/or agricultural applications. Polynucleotides are useful for the production of proteins and are also useful as in vivo reagents, in diagnostic and imaging protocols, as reagents in gene therapy and in antisense protocols and in vaccine applications for treating and/or preventing genetic defects, infectious diseases, **cancer**, and autoimmune diseases.

ADVANTAGE - The polynucleotide solution has improved stability and solubility.

Dwg.0/3

L48 ANSWER 37 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN

AN 1999-371935 [32] WPIX

DNC C1999-109977

TI Enzyme-catalysed esterification of polyol compounds to give e.g. emulsifiers for pharmaceuticals or foods.

DC B05 B07 D13 D16 D21 D25 E13 E17 E19

IN BORNSCHEUER, U; CAO, L; OTTO, R; SCHMID, R D; SYLDATK, C

PA (HENK) HENKEL KGAA

CYC 1

PI DE 19753789 A1 19990617 (199932)* 7

ADT DE 19753789 A1 DE 1997-1053789 19971204

PRAI DE 1997-19753789 19971204

AB DE 19753789 A UPAB: 19990813

NOVELTY - Selective esterification of a polyol at the primary OH group with an aromatic ring-containing carboxylic acid is effected by reaction optionally in presence of a small amount of organic solvent dissolving either the polyol or the acid and in presence of a hydrolase (especially lipase or esterase) catalyst.

ACTIVITY - Antibiotic.

USE - The ester products are surfactants suitable for use e.g. as O/W or W/O emulsifiers in detergents, cosmetics, pharmaceuticals (as biosurfactants), foods etc. A wide range of products for pharmacological studies can be produced, such products having a surface activity comparable to that of aliphatic sugar esters obtained by chemical or fermentation processes, while having improved water-solubility. They are biodegradable and also have multifunctional pharmaceutical activity such as antibiotic activity. The process allows production of compounds having increased hydrophobic or hydrophilic character, thus allowing e.g. production of hydrophobic esterified salicin or vitamin C for dissolution

in creams or for anchoring on biological membranes.

ADVANTAGE - Improved yields and selectivity are achieved without the need to introduce and then split-off protective groups.

Dwg.0/0

L48 ANSWER 38 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN

AN 1997-108265 [10] WPIX

CR 1997-387422 [36]

DNC C1997-034471

TI Corrosion inhibitor for metallic reinforcement in concrete - comprising benzoic **acid** cpd., **aldonic acid** cpd., water and benzo-tri azole or tolyl-tri azole cpd..

DC E19 L02 M14

IN CHANDLER, C; FURMAN, A; GELNER, L; KHARSHAN, M; MIKSIC, B A; RUDMAN, B

PA (CORT-N) CORTEC CORP

CYC 1

PI US 5597514 A 19970128 (199710)* 4

ADT US 5597514 A US 1995-377761 19950124

PRAI US 1995-377761 19950124

AB US 5597514 A UPAB: 19980701

A corrosion inhibitor for reducing corrosion of metallic reinforcement embedded in situ within poured concrete structures comprises: (a) 8-12 weight% of (a water-soluble salt of) benzoic acid; (b) 34-36 weight% of (a water-soluble salt of) **aldonic acid**; (c) 52-58 weight% water; and (d) 0-1 weight% of (a water-soluble salt of) benzotriazole or tolyltriazole; which is provided as an admixt. with raw concrete prior to pouring and curing at 8-48 oz./cubic yard (ocy) of raw concrete. Also claimed are: (1) a corrosion inhibitor as above comprising 10, 35, 55, and 1 weight% of the above components, which is admixed with raw concrete at 6-10 ocy; and (2) a method of inhibiting corrosion of metallic reinforcements embedded as above in poured concrete structures comprising admixing the above amount of the above compsn. with the raw concrete prior to pouring and curing.

USE - For inhibition of corrosion of metal reinforcing rods, wire mesh, metallic fibres, etc. in highway structures, bridges, vehicle parking structures, etc.

ADVANTAGE - The compsn. provides long-lasting, reliable corrosion inhibition while having no **adverse effect** on the curing rate or ultimate strength of the concrete. It may be added at any stage of the concrete-mixing process.

Dwg.0/0

L48 ANSWER 39 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN

AN 1995-238517 [31] WPIX

DNC C1995-109634

TI 2-Keto **aldonic acid** production from corresp. **aldonic acid** - by catalytic oxidation under non-alkaline conditions.

DC B03 E13

IN ABBADI, A; GOTLIEB, K F; VAN, BEKKUM H

PA (CVPA) COOP VERKOOP PROD VAN AARDAPP AVEBE

CYC 1

PI NL 9302127 A 19950703 (199531)* 21

ADT NL 9302127 A NL 1993-2127 19931207

PRAI NL 1993-2127 19931207

AB NL 9302127 A UPAB: 19950810

Production of 2-keto **aldonic acids** (I) comprises oxidising an **aldonic acid** (II) with O₂ in an aqueous medium at pH 3-6.9 in the presence of a Pt catalyst doped with Bi and/or Pb.

USE - (I) are useful as intermediates, e.g. 2-keto-gluconic acid (Ia) in an intermediate for D-arabino-**ascorbic** acid (erythorbic acid, iso-vitamin C).

ADVANTAGE - The process gives higher yields (e.g. 95-97%) than similar processes operated under alkaline conditions (cf. EP151498).
Dwg.0/3

L48 ANSWER 40 OF 42 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
AN 1991-052809 [08] WPIX
CR 1988-184235 [27]; 1992-341636 [42]; 1994-170047 [21]; 1995-312555 [41];
1997-065112 [06]; 1997-247123 [23]; 1999-033473 [03]
DNC C1991-022416
TI Treatment of skin conditions - using compsn. containing alpha hydroxy acid,
alpha keto acid or polymeric hydroxyacid(s) and amphoteric agent.
DC B05 D21 E19
IN VAN SCOTT, E J; YU, R J
PA (VSCO-I) VAN SCOTT E J; (YURJ-I) YU R J; (YURR-I) YU R J; (TRIS-N)
TRISTRATA TECHNOLOGY INC; (TRIS-N) TRISTRATA INC; (TRIS-N) TRISTRATA
TECHNOLOGY
CYC 17
PI EP 413528 A 19910220 (199108)* 34
R: AT BE CH DE ES FR GB GR IT LI LU NL SE
AU 9059139 A 19910221 (199115)
CA 2019273 A 19910215 (199117)
US 5091171 A 19920225 (199211) 10
US 5385938 A 19950131 (199511) 19
AU 660917 B 19950713 (199535)
US 5091171 B1 19950926 (199544) 7
EP 413528 B1 19951115 (199550) EN 47
R: AT BE CH DE DK ES FR GB GR IT LI LU NL SE
DE 69023574 E 19951221 (199605)
AU 9533110 A 19960215 (199614)
ES 2081936 T3 19960316 (199618)
US 5637615 A 19970610 (199729) 18
US 5643952 A 19970701 (199732) 19
US 5643953 A 19970701 (199732) 19
US 5643961 A 19970701 (199732) 18
US 5643962 A 19970701 (199732) 19
US 5643963 A 19970701 (199732) 19
US 5091171 B2 19970715 (199734)
US 5385938 B1 19970715 (199734) 2
US 5648388 A 19970715 (199734) 19
US 5648391 A 19970715 (199734) 19
US 5648395 A 19970715 (199734) 19
US 5650436 A 19970722 (199735) 19
US 5650437 A 19970722 (199735) 19
US 5650440 A 19970722 (199735) 17
US 5652267 A 19970729 (199736) 17
US 5654336 A 19970805 (199737) 19
US 5654340 A 19970805 (199737) 19
US 5656665 A 19970812 (199738) 20
US 5656666 A 19970812 (199738) 19
US 5670542 A 19970923 (199744) 19
US 5670543 A 19970923 (199744) 19
US 5674899 A 19971007 (199746) 19
US 5674903 A 19971007 (199746) 19
US 5677339 A 19971014 (199747) 17
US 5677340 A 19971014 (199747) 17
US 5681853 A 19971028 (199749) 18

US 5684044	A	19971104 (199750)	17
US 5690967	A	19971125 (199802)	19
US 5702688	A	19971230 (199807)	20
US 5716992	A	19980210 (199813)	19
US 5827882	A	19981027 (199850)	
AU 701962	B	19990211 (199918)	
US 5883128	A	19990316 (199918)	
US 5886041	A	19990323 (199919)	
US 5886042	A	19990323 (199919)	
US 6060512	A	20000509 (200030)	
US 6191167	B1	20010220 (200112)#	
CA 2019273	C	20010529 (200134)	EN
CA 2337750	A1	19910215 (200134)	EN
CA 2337750	C	20021015 (200282)	EN
US 2003083380	A1	20030501 (200331)	
US 6767924	B2	20040727 (200449)	

ADT EP 413528 A EP 1990-308828 19900810; US 5091171 A US 1989-393749 19890815;
 US 5385938 A CIP of US 1986-945680 19861223, Div ex US 1989-393749
 19890815, Cont of US 1992-840149 19920224, US 1992-925877 19920807; AU
 660917 B AU 1990-59139 19900718; US 5091171 B1 CIP of US 1986-945680
 19861223, US 1989-393749 19890815, Cont of US 1990-469738 19900119; EP
 413528 B1 EP 1990-308828 19900810; DE 69023574 E DE 1990-623574 19900810,
 EP 1990-308828 19900810; AU 9533110 A Div ex AU 1990-59139 19900718, AU
 1995-33110 19951006; ES 2081936 T3 EP 1990-308828 19900810; US 5637615 A
 CIP of US 1986-945680 19861223, Div ex US 1989-393749 19890815, Cont of US
 1992-840149 19920224, Cont of US 1993-135841 19931007, US 1995-467153
 19950606; US 5643952 A CIP of US 1986-945680 19861223, Div ex US
 1989-393749 19890815, Cont of US 1992-840149 19920224, Cont of US
 1993-135841 19931007, US 1995-466770 19950606; US 5643953 A CIP of US
 1986-945680 19861223, Div ex US 1989-393749 19890815, Cont of US
 1992-840149 19920224, Cont of US 1993-135841 19931017, US 1995-467156
 19950606; US 5643961 A CIP of US 1986-945680 19861223, Div ex US
 1989-393749 19890815, Cont of US 1992-840149 19920224, Cont of US
 1993-135841 19931007, US 1995-466737 19950606; US 5643962 A CIP of US
 1986-945680 19861223, Div ex US 1989-393749 19890815, Cont of US
 1992-840149 19920224, Cont of US 1993-135841 19931007, US 1995-466740
 19950606; US 5643963 A CIP of US 1986-945680 19861223, Div ex US
 1989-393749 19890815, Cont of US 1992-840149 19920224, Cont of US
 1993-135841 19931007, US 1995-471523 19950606; US 5091171 B2 CIP of US
 1986-945680 19861223, US 1989-393749 19890815, Cont of US 1990-469738
 19900119; US 5385938 B1 CIP of US 1986-945680 19861223, Div ex US
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	US 1999-255702	19990223; US 2000-513225	20000225;
	US 2000-729981	20001206	

AB EP 413528 A UPAB: 20040802

A pharmaceutical or cosmetic compsn. comprises (a) an amphoteric or pseudoamphoteric agent (I) and (b) an alpha hydroxyacid, an alpha ketoacid or a related cpd. in a vehicle for topical application. Also claimed is a compsn. comprising a cosmetic or pharmaceutical agent (II) in an amphoteric or pseudoamphoteric system comprising an alpha hydroxyacid, an alpha ketoacid or a related cpd. in a vehicle for topical treatment of cosmetic conditions or medical disorders.

USE/ADVANTAGE - Use of (I) in the compsns. raises the pH so that the compsns. are less or non-irritating to the skin and they can react with alpha hydroxy or ketoacid molecules to form a quadruple ionic complex which acts as a buffering system to control the release of alpha hydroxy

or ketoacid into the skin thereby eliminating skin irritation and still retaining therapeutic efficacy. m
Dwg.0/0

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AN 1977-71273Y [40] WPIX
TI Stabilising aqueous basic aluminium salt solution - by addition of aldohexose, aldonolactone and/or oxy-polycarboxylic acid.
DC D15 E33
PA (TOYJ) TOYO SODA MFG CO LTD
CYC 1
PI JP 52099994 A 19770822 (197740)*
JP 56023927 B 19810603 (198126)
PRAI JP 1976-15513 19760217
AB JP 52099994 A UPAB: 19930901
Stabilising an aqueous solution (I) of basic Al chloride (II) or basis Al sulphate (III) is effected by the addition of 1-20 w/w % (on Al) of an aldohexose, (such as glucose, mannose and/or galactose), **aldonic acid** (such as gluconic acid, mannonic acid and/or galactonic acid), an aldonolactone (such as glucono delta latone, L-**ascorbic acid** and/or erysorbic acid), and/or an oxypolycarboxylic acid, which is di- or poly basic acid having an OH gp. (such as citric acid, tartaric acid and/or malic acid).
(II) and (III) are used for flocculants.

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AN 1972-09832T [07] WPIX
TI Invert sugar oxidation - to **aldonic acids** in presence of catalysts.
DC D17 E17
PA (WOL-I) WOLF F BERGK KH
CYC 1
PI DD 85767 A (197207)*
PRAI DD 1970-150657 19701014
AB DD 85767 A UPAB: 19930000
Invert sugar is oxidised with intensively dispersed atmospheric oxygen in alkaline solution in the presence of Mn, Co or Pb satls as catalyst. The oxidation proceeds rapidly **side-reactions** are limited, and yields are improved. The oxidation products are **aldonic acids**, which have a variety of uses, e.g. as complexing agents for heavy metal ions.

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